



# **STIC Search Report**

## **Biotech-Chem Library**

STIC Database Tracking Number: 198149

**TO:** Shailendra Kumar  
**Location:** 5c03 / 5c18  
**Monday, August 14, 2006**  
**Art Unit:** 1621  
**Phone:** 571-272-0640  
**Serial Number:** 10 / 517518

**From:** Jan Delaval  
**Location:** Biotech-Chem Library  
**Remsen 1a51**  
**Phone:** 571-272-2504  
**[jan.delaval@uspto.gov](mailto:jan.delaval@uspto.gov)**

### Search Notes



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FILE 'REGISTRY' ENTERED AT 07:24:38 ON 14 AUG 2006  
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STRUCTURE FILE UPDATES: 11 AUG 2006 HIGHEST RN 900864-99-5  
DICTIONARY FILE UPDATES: 11 AUG 2006 HIGHEST RN 900864-99-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

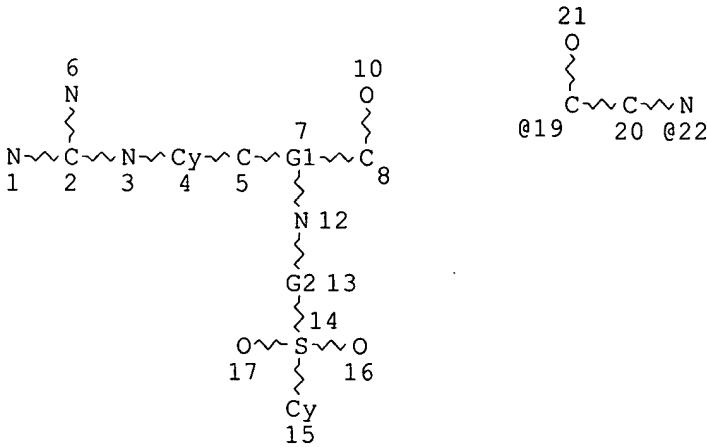
TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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REGISTRY includes numerically searchable data for experimental and  
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experimental property data in the original document. For information  
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<http://www.cas.org/ONLINE/UG/regprops.html>

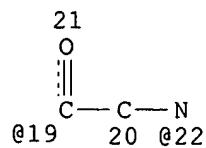
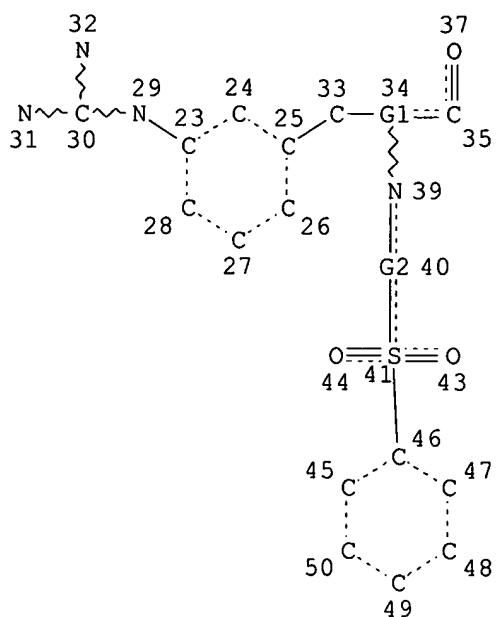
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FILE COVERS 1907 - 14 Aug 2006 VOL 145 ISS 8
FILE LAST UPDATED: 13 Aug 2006 (20060813/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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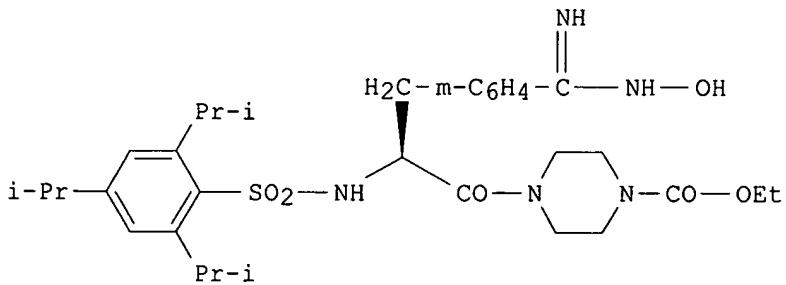
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 DN 145:63149  
 TI Synthesis of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivatives for use as urokinase plasminogen activator inhibitors for the treatment of cancer and its metastasis  
 IN Sperl, Stefan; Buergle, Markus; Schmalix, Wolfgang; Wosikowski, Katja; Clement, Bernd  
 PA Wilex AG, Germany  
 SO U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of Appl. No. PCT/EP04/005682.  
 CODEN: USXXCO

DT Patent  
 LA English

FAN.CNT 2

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PI	US 2006142305 DE 10323898 WO 2004103984	A1 A1 A1	20060629 20041223 20041202	US 2005-287480 DE 2003-10323898 WO 2004-EP5682	20051128 <-- 20030526 <-- 20040526 <--
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GI



AB The invention relates to novel compds., e.g., I, and their physiol. suitable salts, for the inhibition of the urokinase plasminogen activator with high bioavailability and which can also be administered orally, and to their use as therapeutic active ingredients for the treatment of urokinase or/and urokinase receptor associated diseases such as tumors. Thus, I was prepared in five steps from 3-cyanobenzylbromide, di-Et (acetylamo)malonate, 2,4,6-triisopropylphenylsulfonyl chloride, and N-(ethoxycarbonyl)piperazine, with resolution of the racemic first intermediate using Acylase I to provide the L-phenylalanine derivative for subsequent sulfonylation, amidation, and hydroxyamidination reactions.

The physiol. acceptable hydrogen sulfate salt of I was also prepared In expts. using rat mammary adenocarcinoma BN472, I showed reduction of metastatic implantation at 1 mg/kg orally, compared with control group with no active ingredient.

IT 798560-67-5P

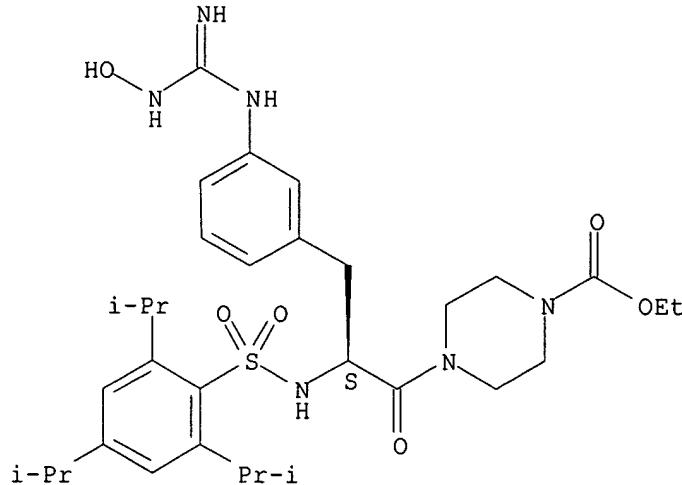
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-67-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

## Absolute stereochemistry.



IT 798560-71-1P 798560-72-2P 798560-73-3P  
798560-74-4P 798560-75-5P 798560-82-4P  
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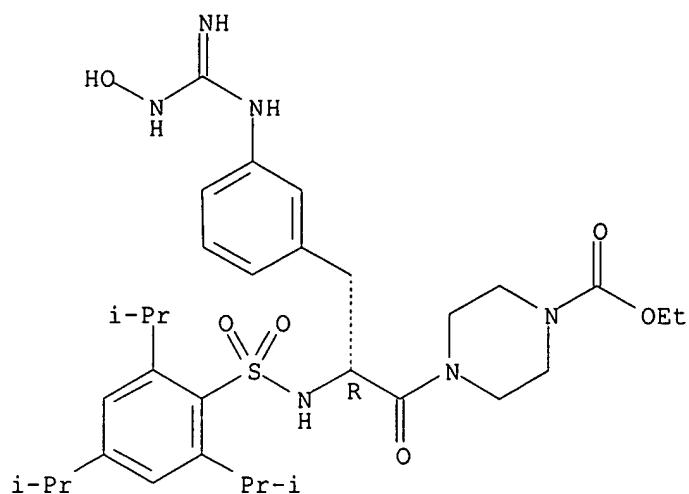
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-71-1 HCAPLUS

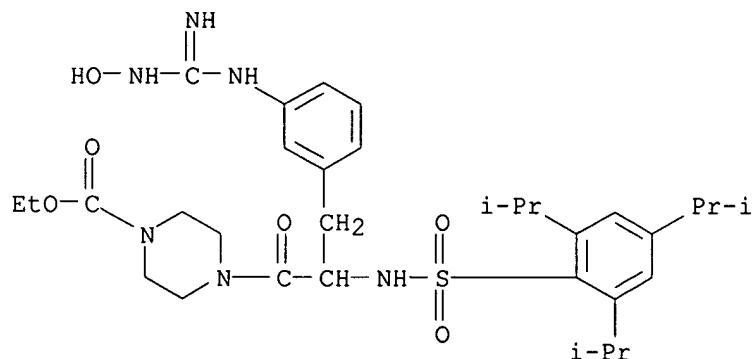
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## Absolute stereochemistry.



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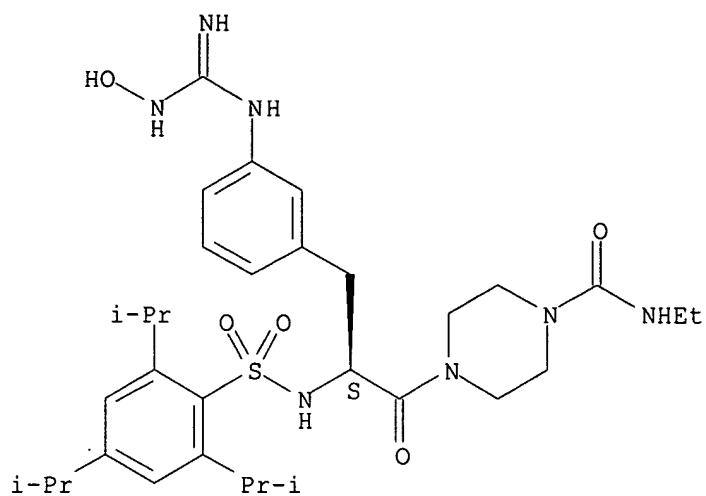
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RN 798560-73-3 HCPLUS

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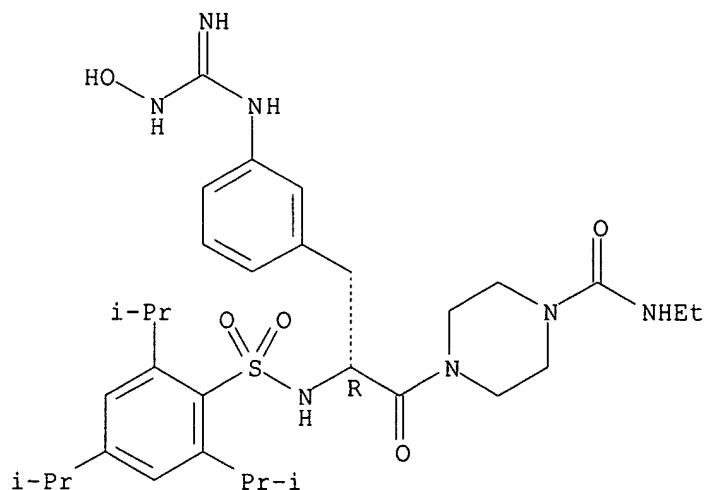
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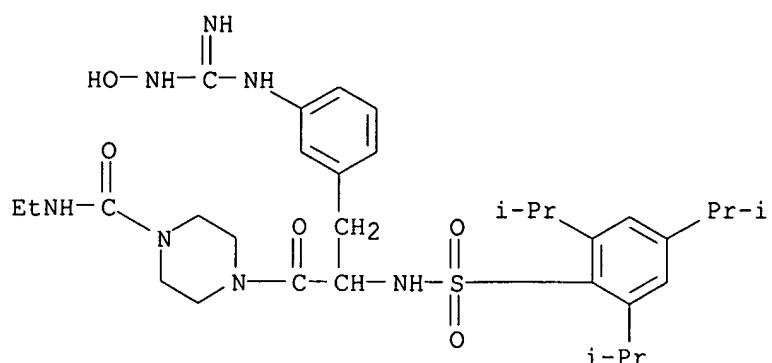
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Absolute stereochemistry.



RN 798560-75-5 HCPLUS

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RN 798560-82-4 HCAPLUS

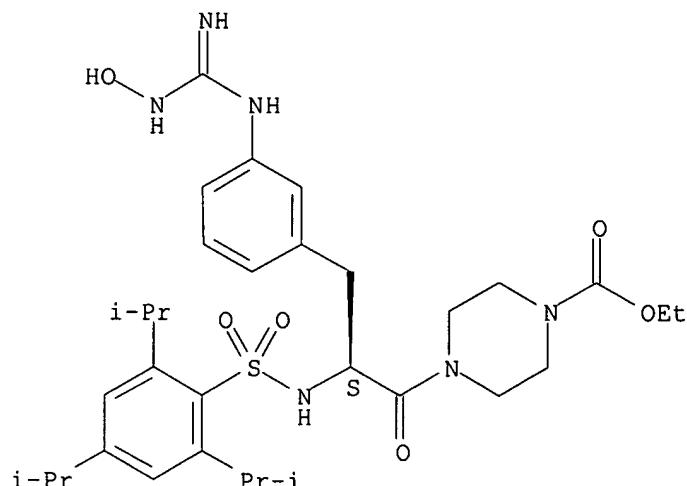
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CRN 798560-67-5

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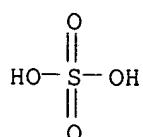
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 798560-83-5 HCPLUS

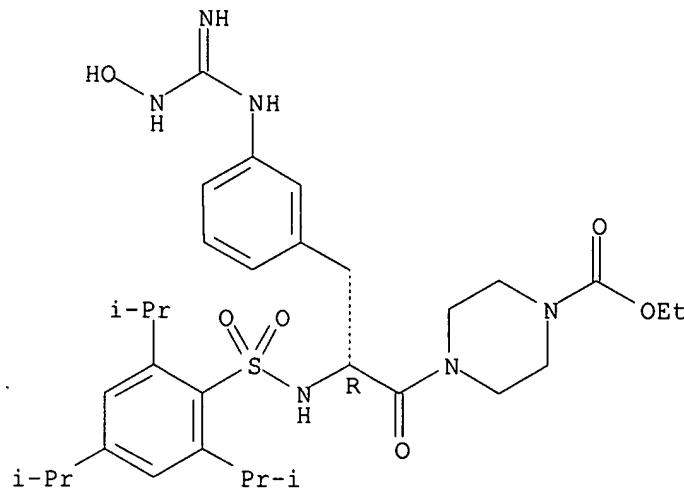
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CRN 798560-71-1

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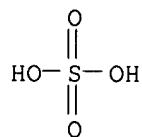
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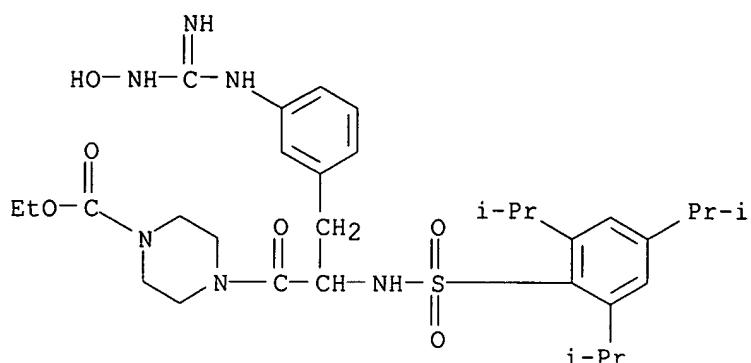
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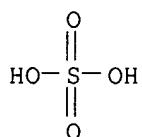
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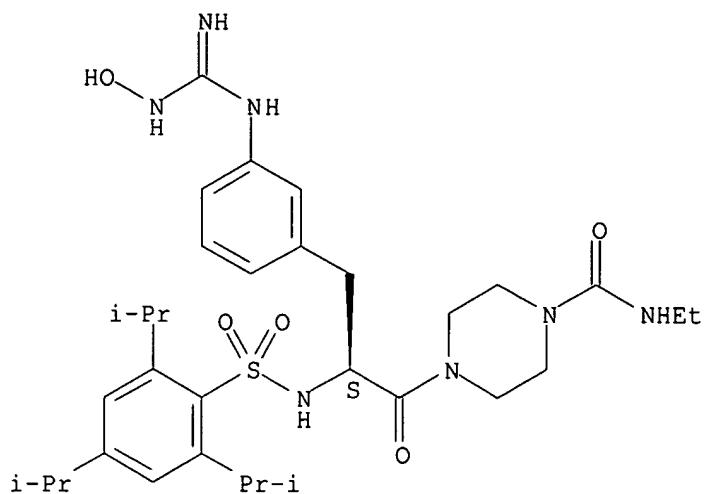
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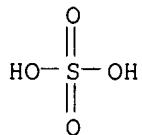
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Absolute stereochemistry.



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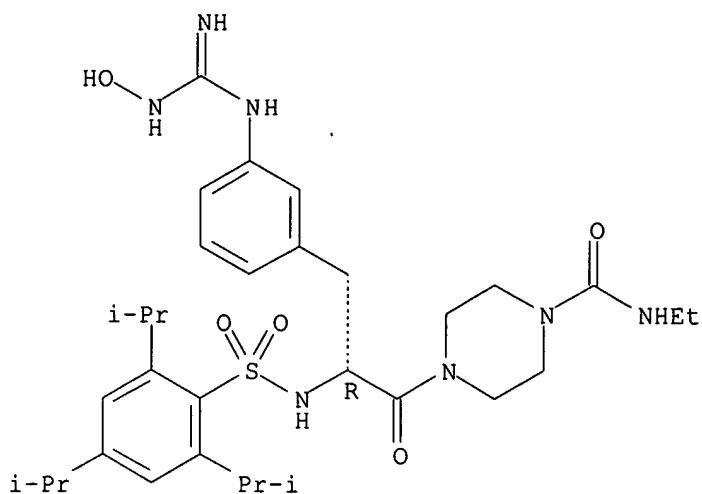
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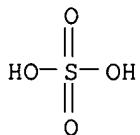
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Absolute stereochemistry.

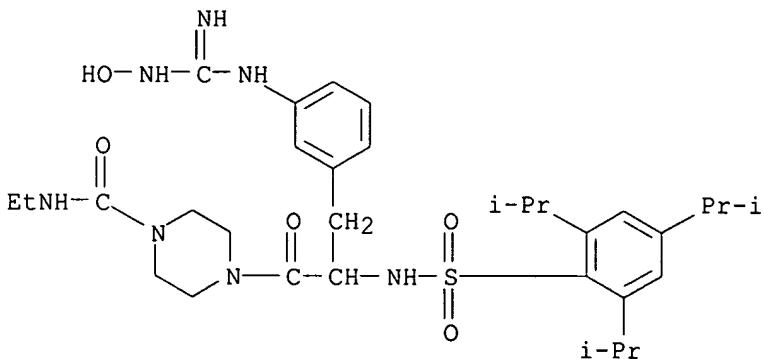


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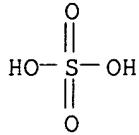
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CM 1

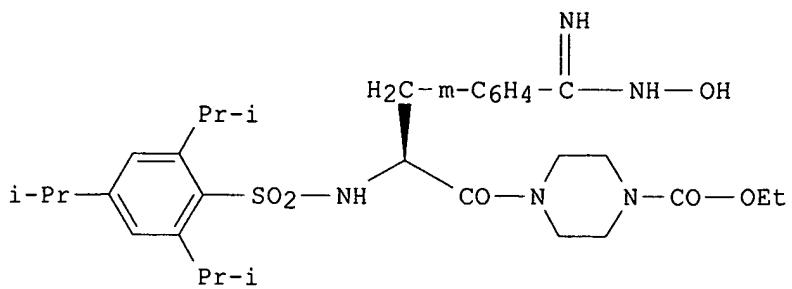
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CM 2

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CMF H2 O4 S

L26 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:1037086 HCAPLUS  
 DN 142:6829  
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 IN Sperl, Stefan; Burgle, Markus; Schmalix, Wolfgang; Wosikowski, Katja; Clement, Bernd  
 PA Wilex A.-G., Germany  
 SO PCT Int. Appl., 48 pp.  
 CODEN: PIXXD2  
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 LA German  
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OS	MARPAT 142:6829				
GI					



AB The invention relates to novel compds., e.g. (I), and their physiol. suitable salts, for the inhibition of the urokinase plasminogen activator with high bioavailability and which can also be administered orally, and to the use thereof as therapeutic active ingredients for the treatment of urokinase or/and urokinase receptor associated diseases, such as tumors and metastization. Thus, I was prepared in five steps from 3-cyanobenzylbromide, di-Et (acetylaminomalonate, 2,4,6-triisopropylphenylsulfonyl chloride, and N-(ethoxycarbonyl)piperazine, with resolution of the racemic first intermediate using Acylase I to provide the L-phenylalanine derivative for subsequent sulfonylation, amidation, and hydroxyamidination reactions. The physiol. acceptable hydrogen sulfate salt of I was also prepared. In expts. using rat mammary adenocarcinoma BN47, I showed reduction of metastatic implantation at 1 mg/kg orally, compared with control group with no active ingredient.

IT 798560-67-5P

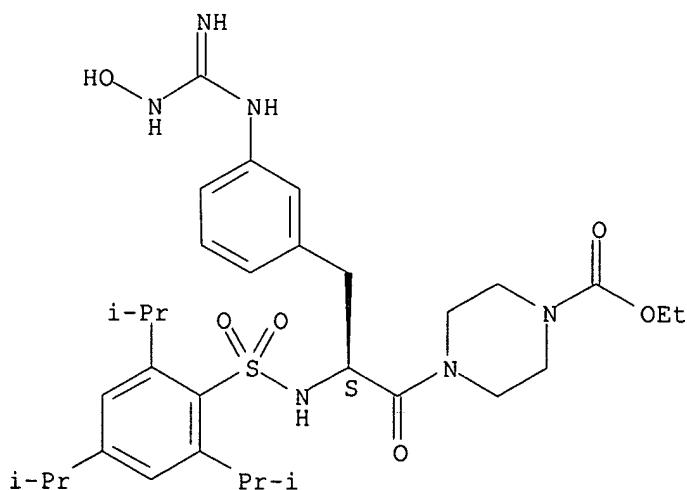
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-67-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(hydroxyamino)iminomethyl]aminophenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 798560-71-1P 798560-72-2P 798560-73-3P  
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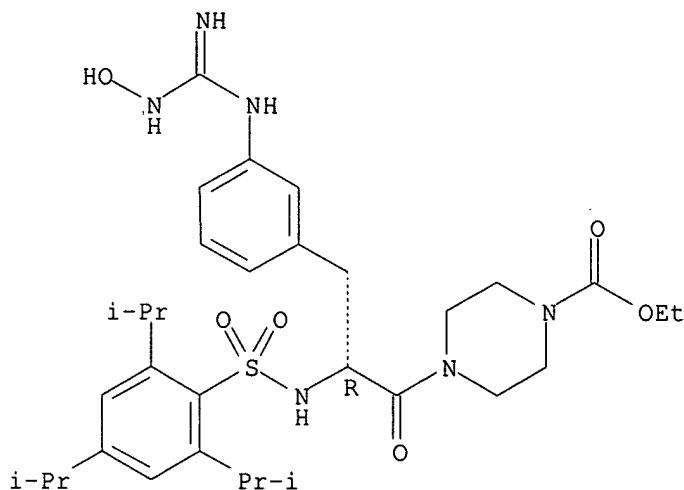
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-71-1 HCPLUS

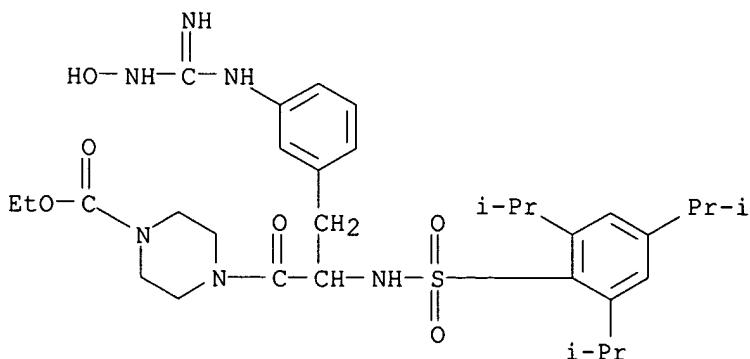
CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl] -, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 798560-72-2 HCPLUS

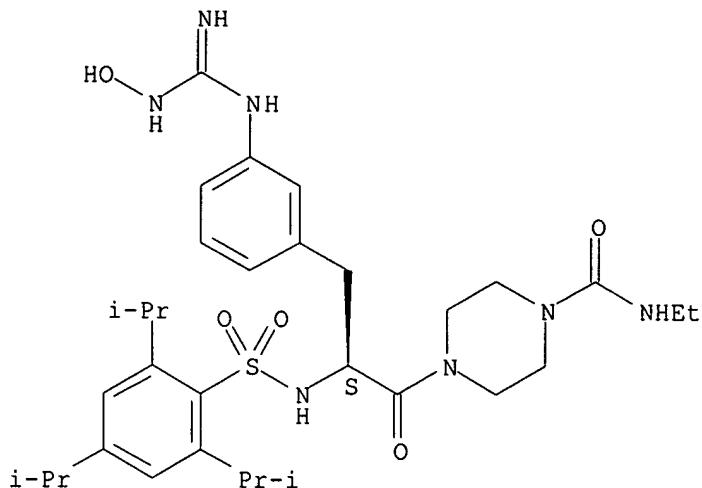
CN 1-Piperazinecarboxylic acid, 4-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl] -, ethyl ester (9CI) (CA INDEX NAME)



RN 798560-73-3 HCPLUS

CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl] - (9CI) (CA INDEX NAME)

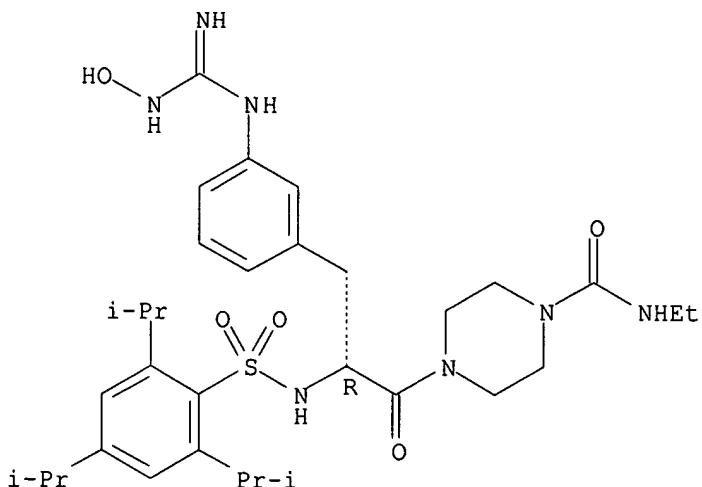
Absolute stereochemistry.



RN 798560-74-4 HCPLUS

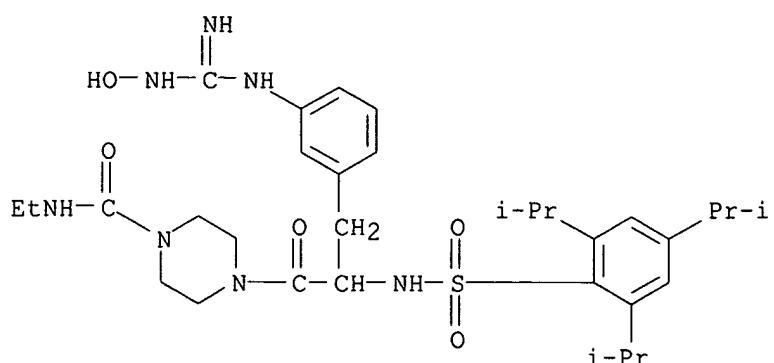
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 798560-75-5 HCPLUS

CN 1-Piperazinecarboxamide, N-ethyl-4-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)



RN 798560-82-4 HCAPLUS

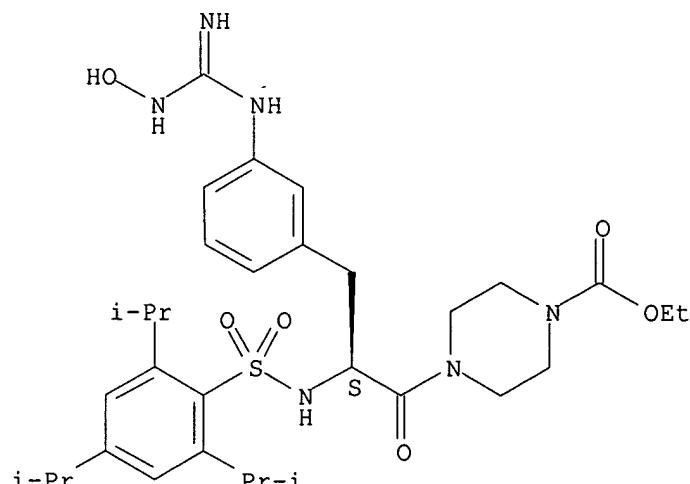
CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(hydroxyamino)iminomethyl]aminophenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798560-67-5

CMF C32 H48 N6 O6 S

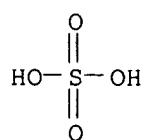
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 798560-83-5 HCPLUS

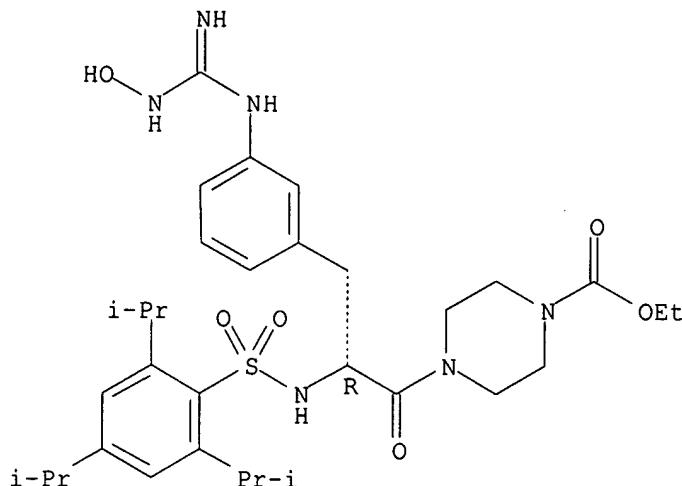
CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798560-71-1

CMF C32 H48 N6 O6 S

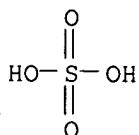
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



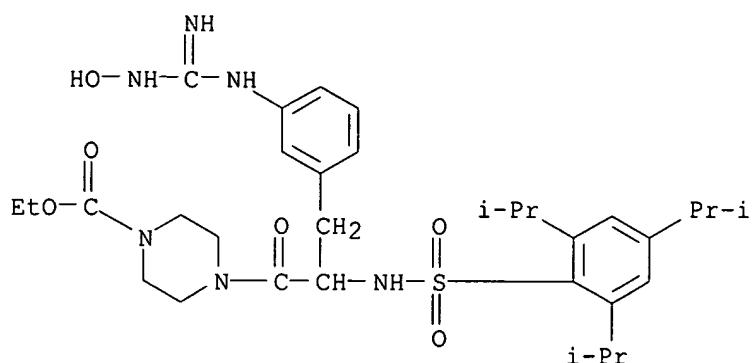
RN 798560-84-6 HCPLUS

CN 1-Piperazinecarboxylic acid, 4-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

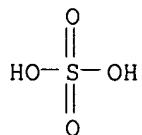
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CRN 798560-72-2

CMF C32 H48 N6 O6 S



CM 2

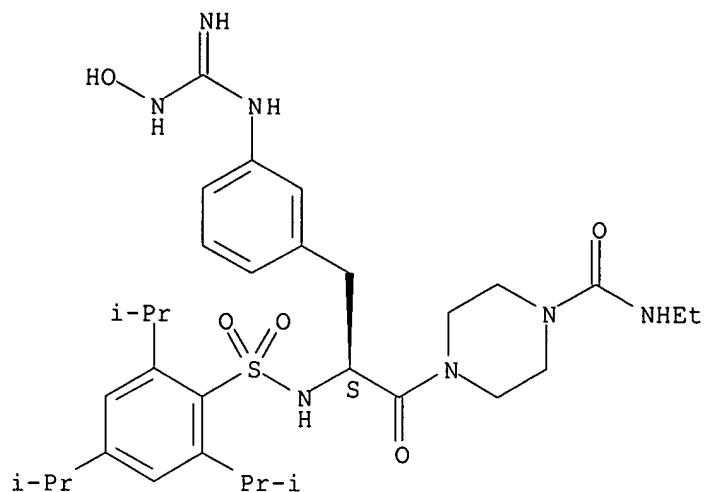
CRN 7664-93-9  
CMF H<sub>2</sub> O<sub>4</sub> S

RN 798560-85-7 HCPLUS  
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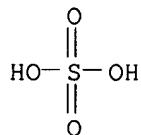
CM 1

CRN 798560-73-3  
CMF C<sub>32</sub> H<sub>49</sub> N<sub>7</sub> O<sub>5</sub> S

Absolute stereochemistry.



CM 2

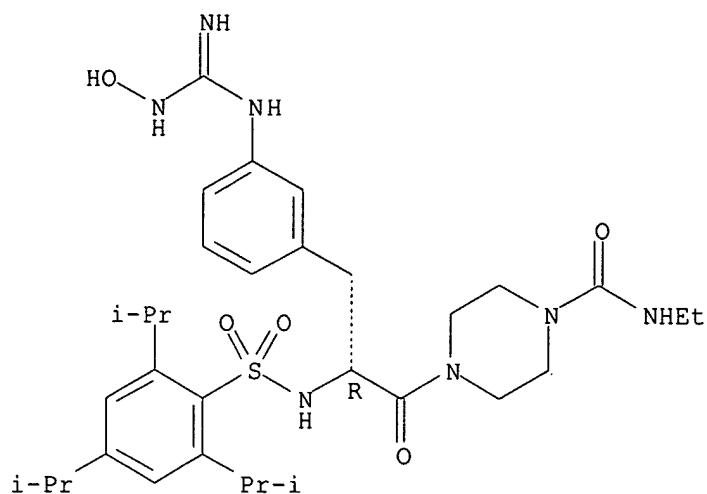
CRN 7664-93-9  
CMF H2 O4 S

RN 798560-86-8 HCPLUS  
 CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

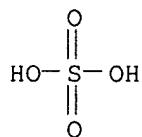
CM 1

CRN 798560-74-4  
CMF C32 H49 N7 O5 S

Absolute stereochemistry.

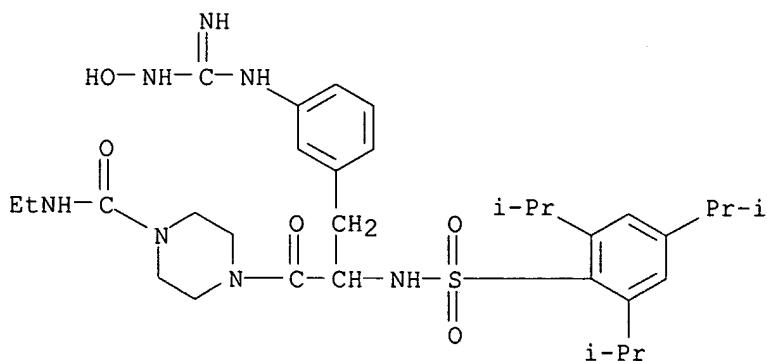


CM 2

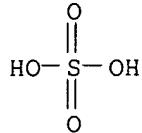
CRN 7664-93-9  
CMF H<sub>2</sub> O<sub>4</sub> S

RN 798560-87-9 HCPLUS  
 CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798560-75-5  
CMF C<sub>32</sub> H<sub>49</sub> N<sub>7</sub> O<sub>5</sub> S

CM 2

CRN 7664-93-9  
CMF H2 O4 S

## RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Corvas Int Inc	2002			EP 1182207 A	HCAPLUS
Pentapharm Ag	2003			WO 03072559 A	HCAPLUS
Sturzebecher, J	1999	19	3147	BIOORGANIC & MEDICIN	HCAPLUS
Wosikowski-Buters, K	2004			WO 2004011449 A	HCAPLUS

L26 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:101151 HCAPLUS

DN 140:146510

TI Method for the production of phenylalanine derivatives

IN Wosikowski-Buters, Katja; Sperl, Stefan; Sommer, Joachim

PA Wilex A.-G., Germany

SO PCT Int. Appl., 16 pp.

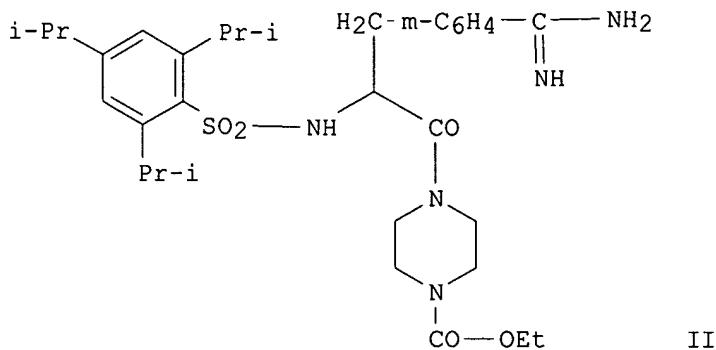
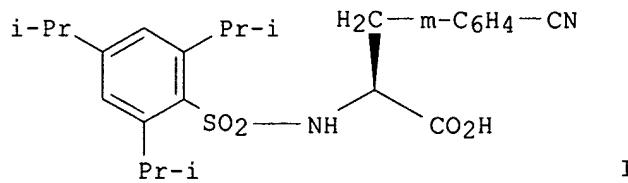
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004011449	A3	20040408		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10238048	A1	20040205	DE 2002-10238048	20020820 <--
AU 2003253326	A1	20040216	AU 2003-253326	20030725 <--
EP 1525195	A2	20050427	EP 2003-771103	20030725 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005245757	A1	20051103	US 2005-522218	20050124 <--
PRAI DE 2002-10233919	A	20020725 <--		
DE 2002-10238048	A	20020820 <--		
WO 2003-EP8230	W	20030725 <--		
OS CASREACT 140:146510; MARPAT 140:146510				
GI				



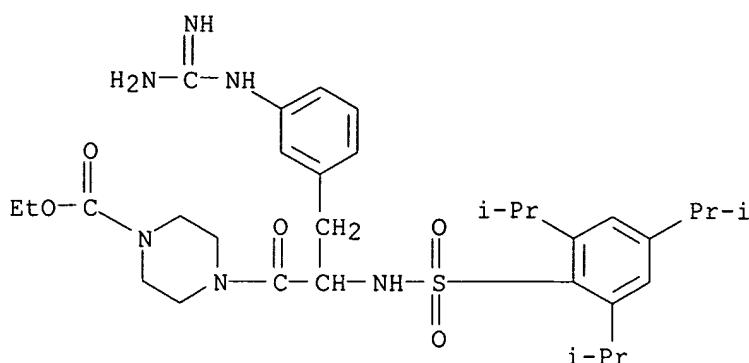
AB The invention relates to an improved method for the production of 3-amidino- or 3-guanidinophenylalanine derivs., especially triisopropylphenyl-sulfonyl-substituted 3-amidino- or 3-guanidinophenylalanine derivs. Preparation of intermediate (I) was given in an exptl. example, with elaboration of I to title product (II) given in two exptl. schemes which were discussed without yield data. To prepare I, 3-cyano-L-phenylalanine was first N-protected using trimethylsilyl chloride, and then reacted with 2,4,6-tris(1-methylethyl)-benzenesulfonyl chloride, giving I in a yield of 84%, with a purity of 80% as measured by HPLC.

IT **634599-12-5P 634599-14-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of N-substituted 3-amidino- or -guanidino-phenylalanine derivs.)

RN 634599-12-5 HCPLUS

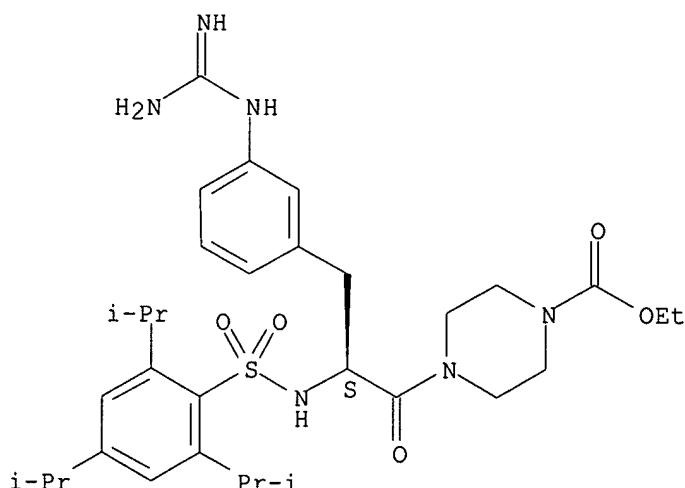
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-14-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[(3-[(aminoiminomethyl)amino]phenyl]amino]propyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:95354 HCAPLUS

DN 140:146509

TI Synthesis of N-substituted 3-amidino- or -guanidino- phenylalanine derivatives

IN Wosikowski-Buters, Katja; Sperl, Stefan

PA Wilex A.-G., Germany

SO Ger. Offen., 9 pp.

CODEN: GWXXBX

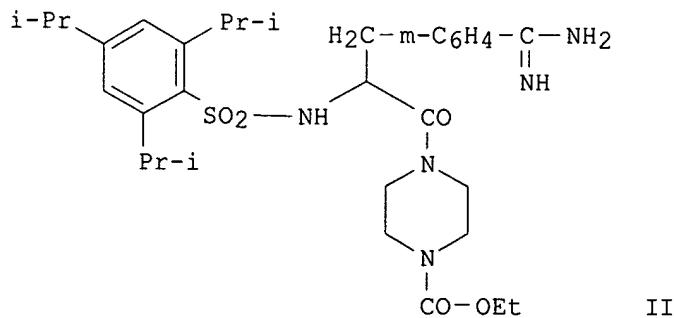
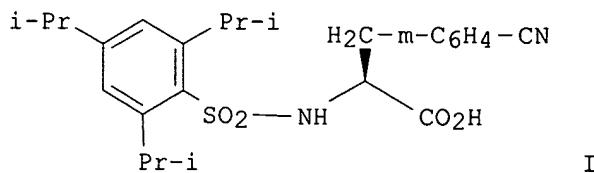
DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10238048	A1	20040205	DE 2002-10238048	20020820 <--
	WO 2004011449	A2	20040205	WO 2003-EP8230	20030725 <--
	WO 2004011449	A3	20040408		

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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2003253326 A1 20040216 AU 2003-253326 20030725 <--  
 EP 1525195 A2 20050427 EP 2003-771103 20030725 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 PRAI DE 2002-10233919 IA 20020725 <--  
 DE 2002-10238048 A 20020820 <--  
 WO 2003-EP8230 W 20030725 <--  
 OS CASREACT 140:146509; MARPAT 140:146509  
 GI



AB The invention relates to an improved method for the production of 3-amidino- or 3-guanidinophenylalanine derivs. Preparation of intermediate (I) was given in two exptl. example, with elaboration of I into title products [e.g., (II)] given in two exptl. schemes which were discussed without yield data. To prepare I, 3-cyano-L-phenylalanine was first N-protected using trimethylsilyl chloride, and then reacted with 2,4,6-tris(1-methylethyl)-benzenesulfonyl chloride, giving I in a yield of 84%, with a purity of 80% as measured by HPLC.

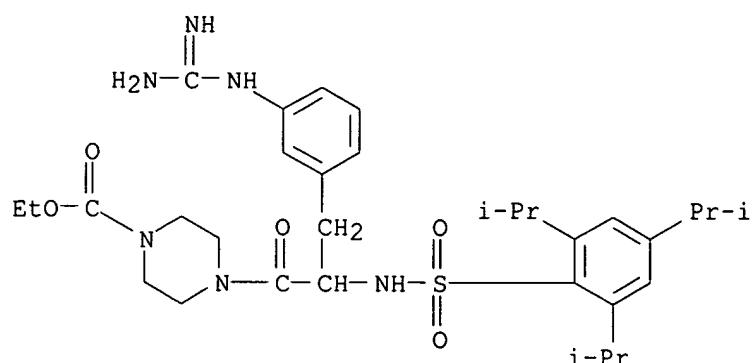
IT 634599-12-5P 634599-14-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of N-substituted 3-amidino- or -guanidino-phenylalanine derivs.)

RN 634599-12-5 HCPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl

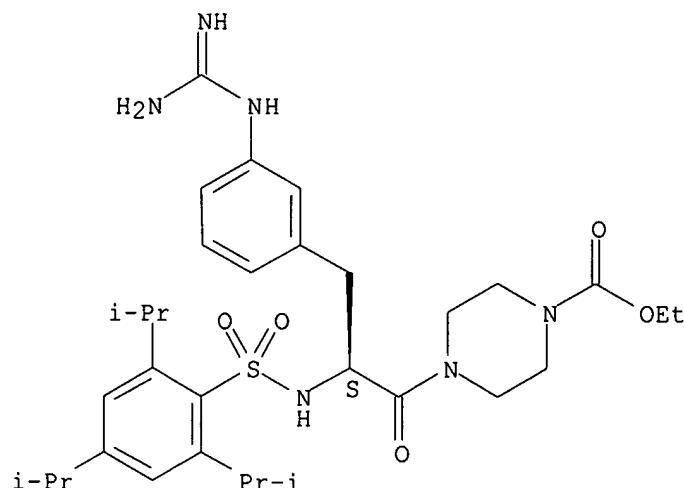
ester (9CI) (CA INDEX NAME)



RN 634599-14-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:95316 HCAPLUS

DN 140:151935

TI Liposomal formulations of 3-amidino- and 3-guanidino phenylalanine derivatives for use as urokinase inhibitors in cancer treatment

IN Wosikowski-Buters, Katja; Schmalix, Wolfgang

PA Wilex A.-G., Germany

SO Ger. Offen., 20 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI DE 10233632	A1	20040205	DE 2002-10233632	20020724 <--

WO 2004011004	A1	20040205	WO 2003-EP8011	20030722 <--
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AU 2003251446	A1	20040216	AU 2003-251446	20030722 <--
EP 1534283	A1	20050601	EP 2003-771071	20030722 <--

EP 1534283	B1	20051214		
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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US 2005181034	A1	20050818	US 2003-521805	20030722 <--
AT 312610	E	20051215	AT 2003-771071	20030722 <--

ES 2250918	T3	20060416	ES 2003-3771071	20030722 <--
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PRAI DE 2002-10233632	A	20020724	<--	.
WO 2003-EP8011	W	20030722	<--	

OS MARPAT 140:151935

AB The invention concerns liposomal formulations of 3-amidino- and 3-guanidino phenylalanine derivs., especially WX-UK1, for use as urokinase inhibitors in tumor treatment. Phospholipid liposomes are prepared; formulations are injections for i.v., s.c., and i.m. administration. The liposomes can contain antifreeze agents. Thus a pH 6.5 formulation contained (%): WX-UK1 HCl 2.00; egg phosphatidylcholine 10.00; lactose 7.91; disodium hydrogen phosphate dihydrate 0.72; water to 100. Other formulations were prepared with addnl. DMPG-Na and at pH 8.4 as well. The bioavailability of the formulations were tested on rats.

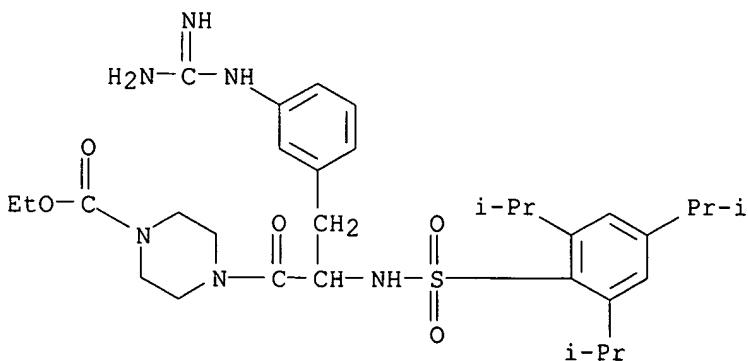
IT 634599-12-5 634599-14-7 634599-18-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(liposomal formulations of 3-amidino- and 3-guanidino phenylalanine derivs. for use as urokinase inhibitors in cancer treatment)

RN 634599-12-5 HCPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

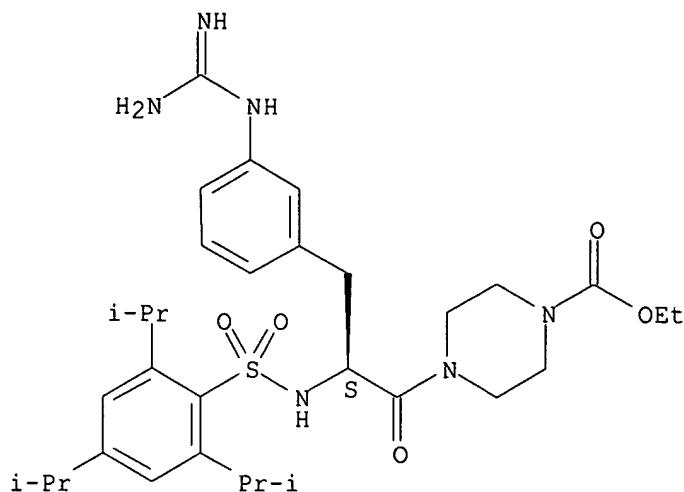


RN 634599-14-7 HCPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl

ester (9CI) (CA INDEX NAME)

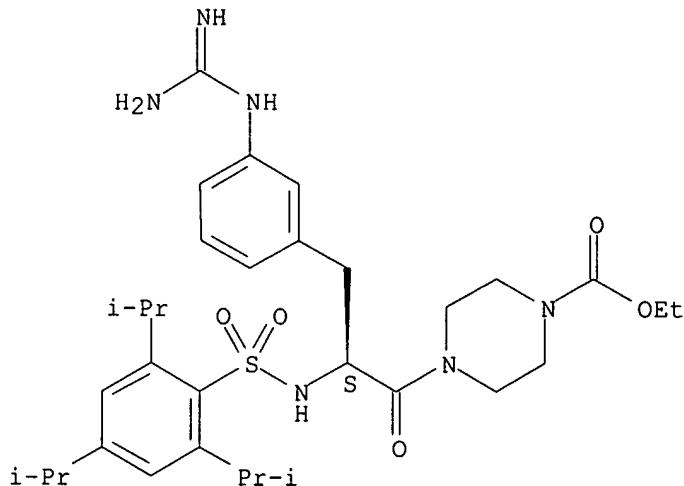
### Absolute stereochemistry.



RN 634599-18-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

## Absolute stereochemistry.



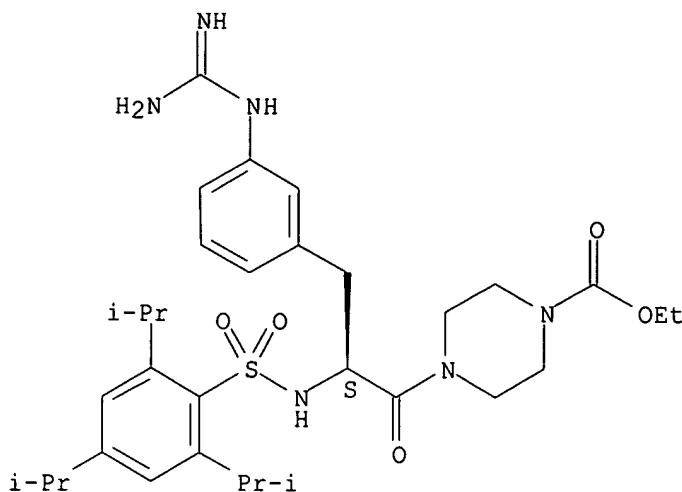
● HCl

L26 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN  
AN 2003:991327 HCAPLUS  
DN 140:23227  
TI Guanidinophenylalanine compounds used as urokinase inhibitors and for the treatment of cancer

IN Sperl, Stefan  
 PA Wilex AG, Germany  
 SO PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103644	A2	20031218	WO 2003-EP5918	20030605 <--
	WO 2003103644	A3	20040401		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10225876	A1	20031224	DE 2002-10225876	20020611 <--
	AU 2003236701	A1	20031222	AU 2003-236701	20030605 <--
	EP 1511721	A2	20050309	EP 2003-735558	20030605 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005267127	A1	20051201	US 2005-517518	20050701 <--
PRAI	DE 2002-10225876	A	20020611	<--	
	WO 2003-EP5918	W	20030605	<--	
OS	MARPAT 140:23227				
AB	The invention discloses the use of 3-guanidinophenylalanine derivs. as urokinase inhibitors for the treatment of malignant tumors and metastasis. Preparation of compds. is described.				
IT	634599-14-7P RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (guanidinophenylalanine derivs. for urokinase inhibitors and for treatment of cancer)				
RN	634599-14-7 HCPLUS				
CN	1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

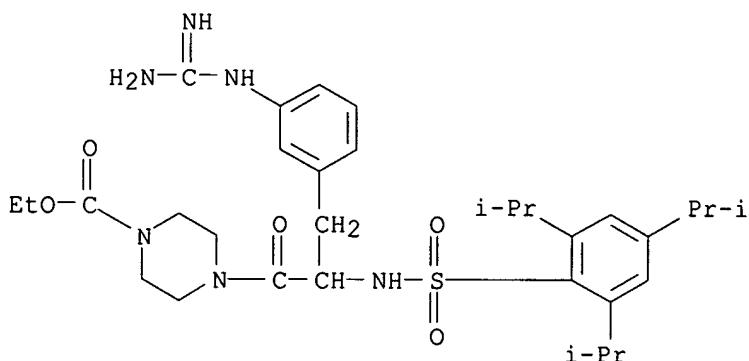


IT 634599-12-5 634599-13-6 634599-15-8  
 634599-16-9 634599-17-0 634599-18-1  
 634599-19-2

RL: DGN (Diagnostic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (guanidinophenylalanine derivs. for urokinase inhibitors and for treatment of cancer)

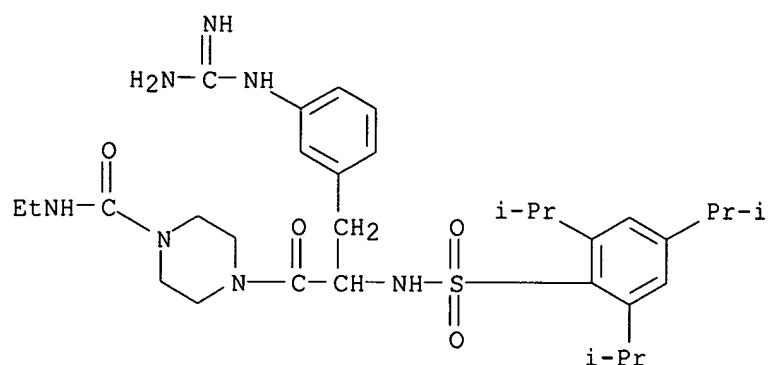
RN 634599-12-5 HCPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-13-6 HCPLUS

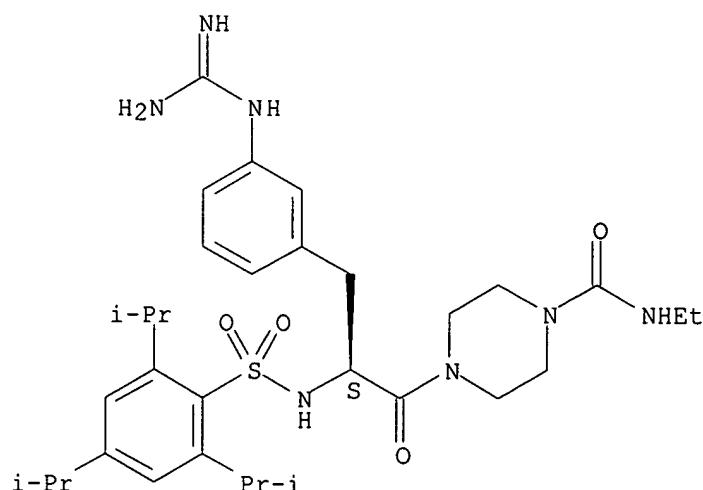
CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 634599-15-8 HCPLUS

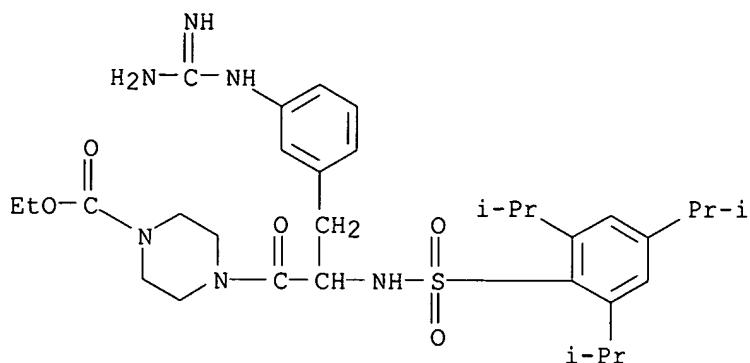
CN 1-Piperazinecarboxamide, 4-[(2S)-3-{3-[(aminoiminomethyl)amino]phenyl}-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 634599-16-9 HCPLUS

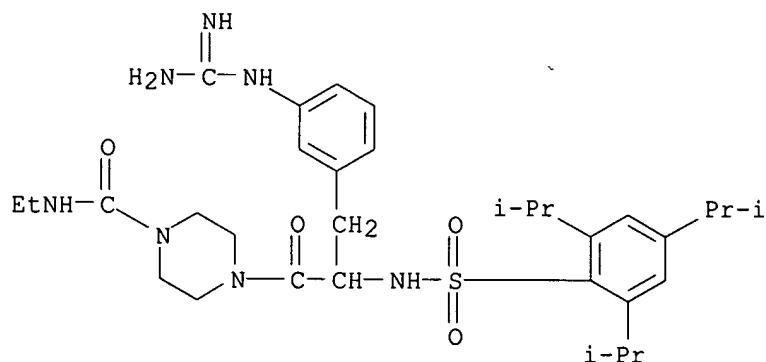
CN 1-Piperazinecarboxylic acid, 4-[(3-[(aminoiminomethyl)amino]phenyl)-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 634599-17-0 HCPLUS

CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

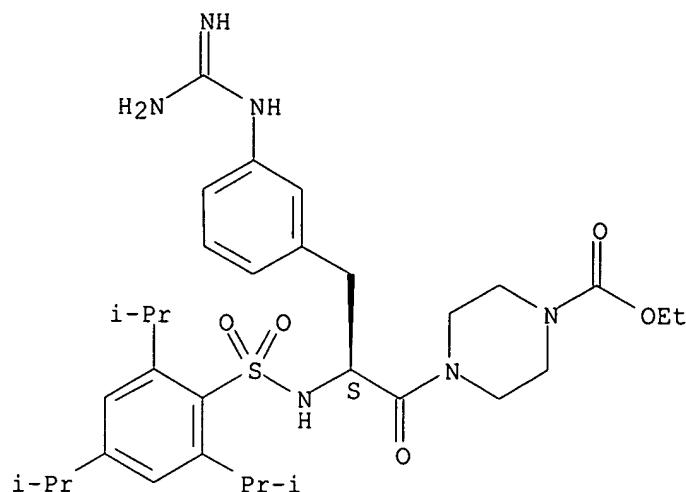


● HCl

RN 634599-18-1 HCPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

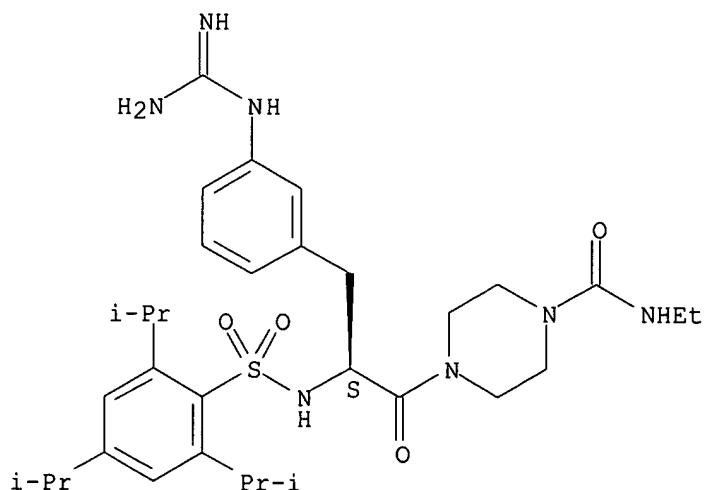


● HCl

RN 634599-19-2 HCPLUS

CN 1-Piperazinecarboxamide, 4-[(2S)-3-[(3-[(aminoiminomethyl)amino]phenyl)-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



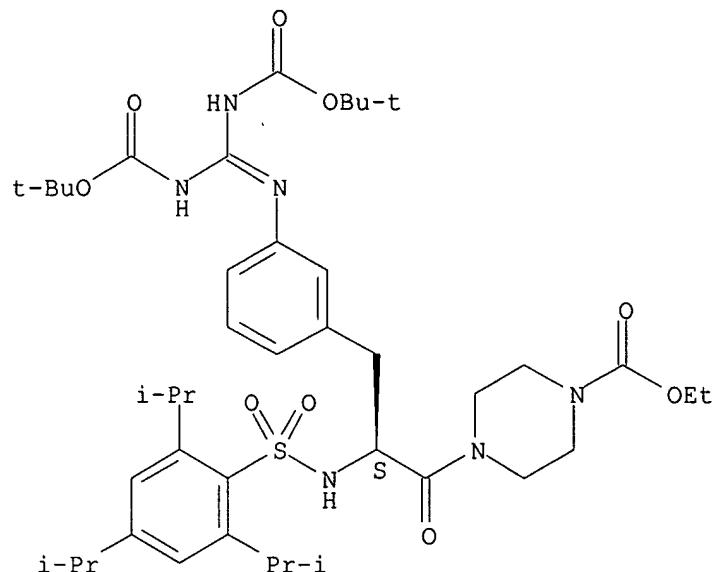
● HCl

IT 634599-23-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(guanidinophenylalanine derivs. for urokinase inhibitors and for

treatment of cancer)  
 RN 634599-23-8 HCPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[bis[[1,1-dimethylethoxy)carbonyl]amino]methylene]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> fil uspatfull  
 FILE 'USPATFULL' ENTERED AT 07:25:07 ON 14 AUG 2006  
 CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 10 Aug 2006 (20060810/PD)  
 FILE LAST UPDATED: 10 Aug 2006 (20060810/ED)  
 HIGHEST GRANTED PATENT NUMBER: US7089595  
 HIGHEST APPLICATION PUBLICATION NUMBER: US2006179536  
 CA INDEXING IS CURRENT THROUGH 8 Aug 2006 (20060808/UPCA)  
 ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 10 Aug 2006 (20060810/PD)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2006  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2006

=> d 133 bib abs hitstr tot

L33 ANSWER 1 OF 6 USPATFULL on STN  
 AN 2006:167794 USPATFULL  
 TI Hydroxyamidine and hydroxyguanidine compounds as urokinase inhibitors  
 IN Sperl, Stefan, Muenchen, GERMANY, FEDERAL REPUBLIC OF  
 Buergle, Markus, Muenchen, GERMANY, FEDERAL REPUBLIC OF  
 Schmalix, Wolfgang, Groebenzell, GERMANY, FEDERAL REPUBLIC OF  
 Wosikowski, Katja, Poing, GERMANY, FEDERAL REPUBLIC OF  
 Clement, Bernd, Kiel, GERMANY, FEDERAL REPUBLIC OF  
 PA WILEX AG, Muenchen, GERMANY, FEDERAL REPUBLIC OF (non-U.S.  
 corporation)  
 PI US 2006142305 A1 20060629

AI US 2005-287480 A1 20051128 (11)  
RLI Continuation-in-part of Ser. No. WO 2004-EP5682, filed on 26 May 2004,  
UNKNOWN  
PRAI DE 2003-10323898 20030526 <--  
DT Utility  
FS APPLICATION  
LREP ROTHWELL, FIGG, ERNST & MANBECK, P.C., 1425 K STREET, N.W., SUITE 800,  
WASHINGTON, DC, 20005, US  
CLMN Number of Claims: 21  
ECL Exemplary Claim: 1  
DRWN 10 Drawing Page(s)  
LN CNT 1011

CAS INDEXING IS AVAILABLE FOR THIS PATENT

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel compounds for inhibiting the urokinase plasminogen activator (uPA), which have high bioavailability and oral administerability, and also to the use thereof as therapeutic active compounds for the treatment of urokinase- or/and urokinase receptor-associated disorders such as, for example, tumors and metastasizing. The invention relates in particular to compounds containing hydroxvamidine or hydroxvguanidine groups.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

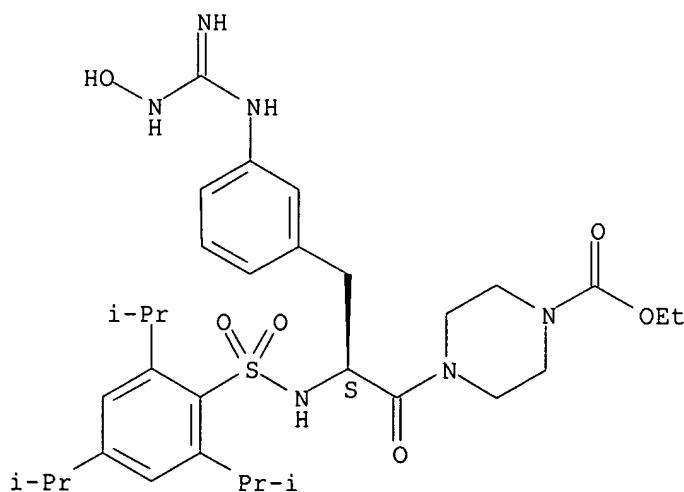
IT 798560-67-5P

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

798560-67-5 USPATEFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, ethyl ester (9CI) (CA INDEX NAME)

### Absolute stereochemistry.



IT 798560-71-1P 798560-72-2P 798560-73-3P

798560-74-4P 798560-75-5P 798560-82-4P

798560-83-5P 798560-84-6P 798560-85-7P

798560-86-8P 798560-87-9P

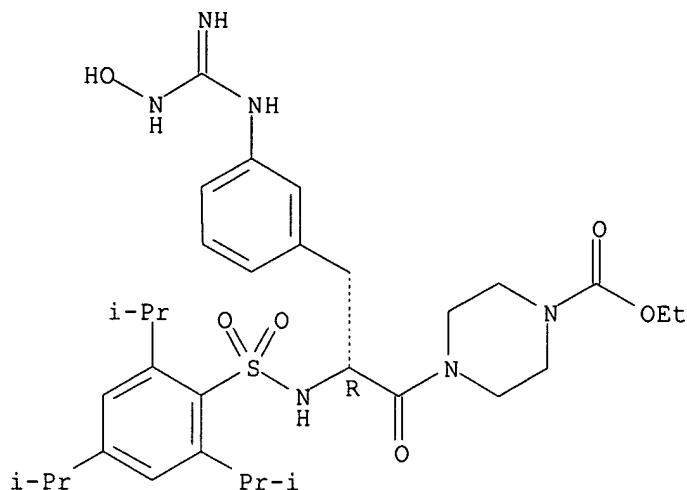
(preparation of hydroxyl)

(preparation of hydroxyguanidine and hydroxyguanidine amino acid of oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)  
560-71-1 USPATFULL

KN 750500 71 1 031400Z

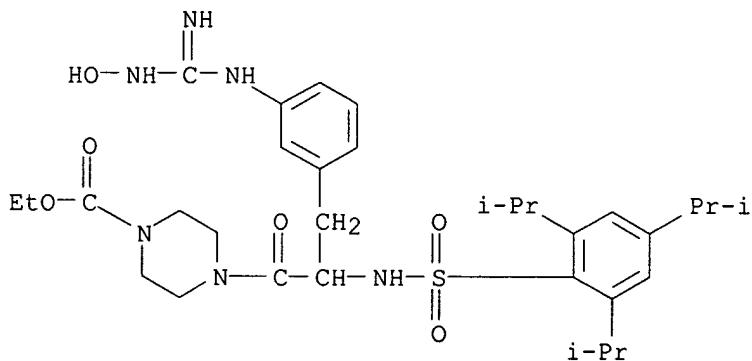
CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 798560-72-2 USPATFULL

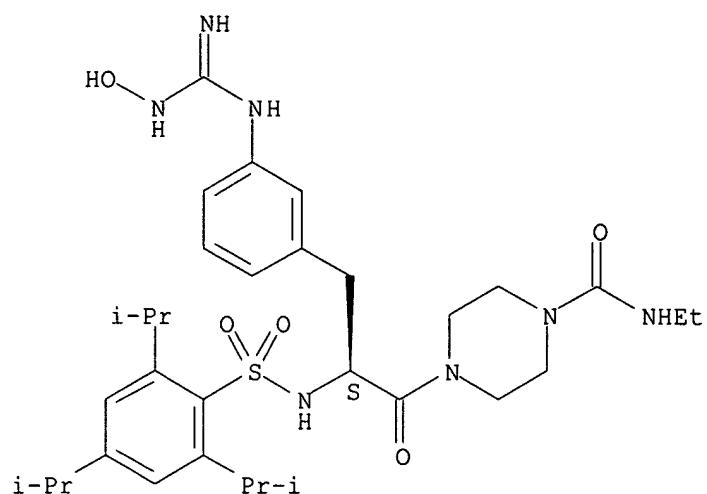
CN 1-Piperazinecarboxylic acid, 4-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 798560-73-3 USPATFULL

CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, (9CI) (CA INDEX NAME)

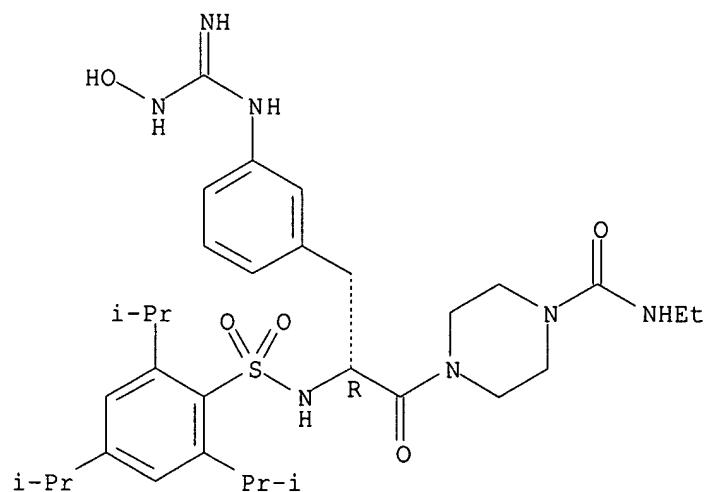
Absolute stereochemistry.



RN 798560-74-4 USPATFULL

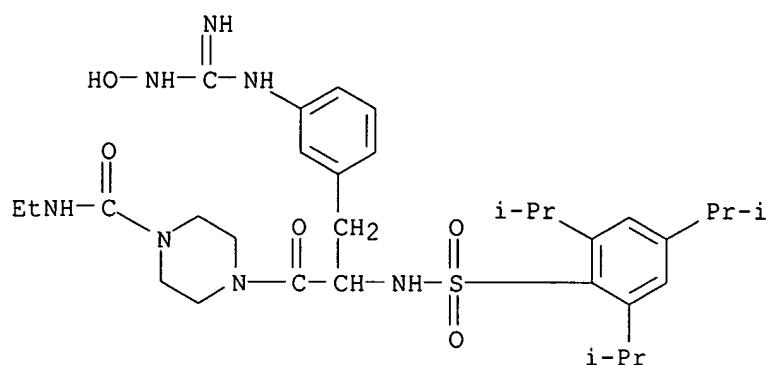
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 798560-75-5 USPATFULL

CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)



RN 798560-82-4 USPATFULL

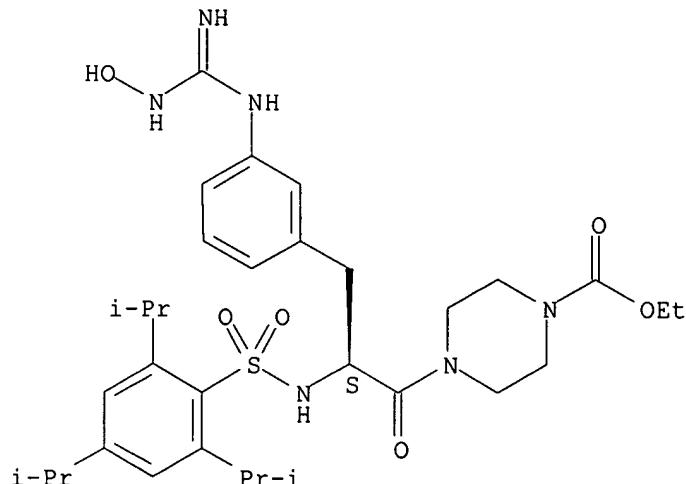
CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[(hydroxyamino)iminomethyl]aminophenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798560-67-5

CMF C32 H48 N6 O6 S

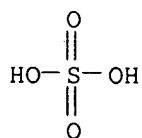
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S

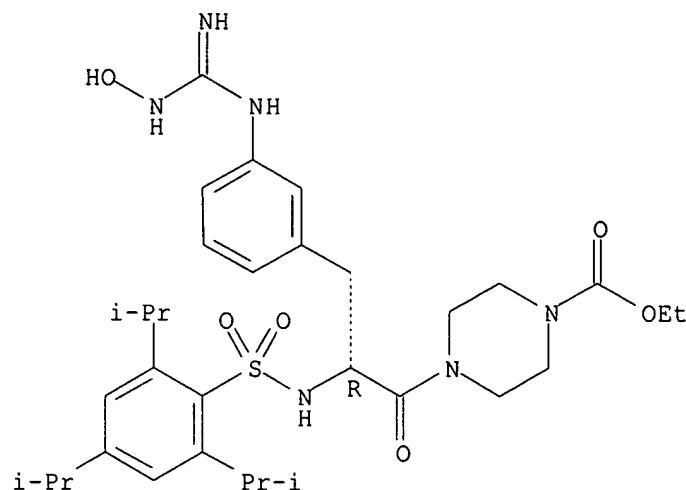


RN 798560-83-5 USPATFULL  
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

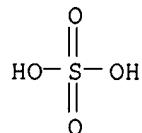
CRN 798560-71-1  
 CMF C32 H48 N6 O6 S

Absolute stereochemistry.



CM 2

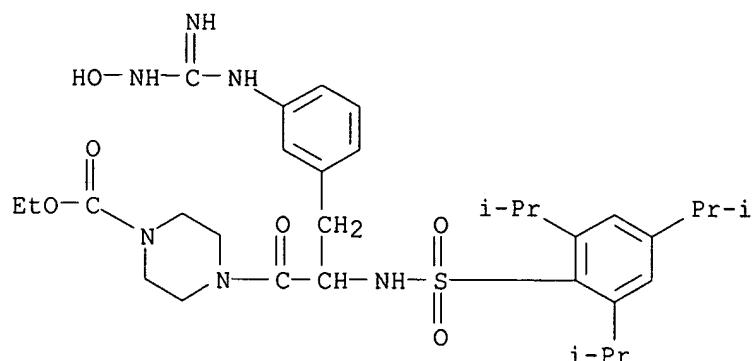
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 CMF H2 O4 S



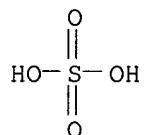
RN 798560-84-6 USPATFULL  
 CN 1-Piperazinecarboxylic acid, 4-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798560-72-2  
 CMF C32 H48 N6 O6 S



CM 2

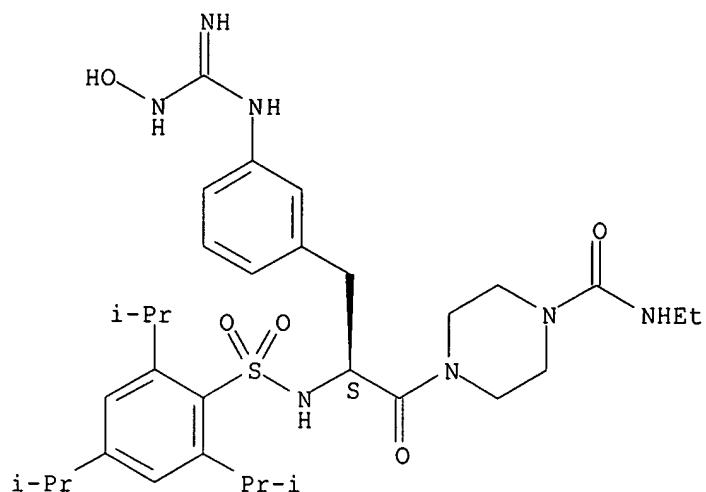
CRN 7664-93-9  
CMF H2 O4 S

RN 798560-85-7 USPATFULL  
 CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI)  
 (CA INDEX NAME)

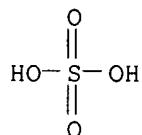
CM 1

CRN 798560-73-3  
CMF C32 H49 N7 O5 S

Absolute stereochemistry.



CM 2

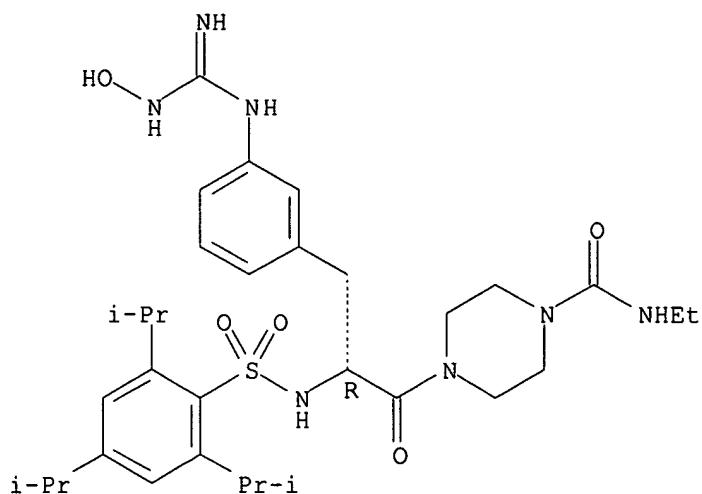
CRN 7664-93-9  
CMF H<sub>2</sub> O<sub>4</sub> S

RN 798560-86-8 USPATFULL  
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 [(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[2,4,6-tris(1-  
 methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI)  
 (CA INDEX NAME)

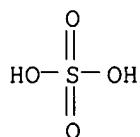
CM 1

CRN 798560-74-4  
CMF C<sub>32</sub> H<sub>49</sub> N<sub>7</sub> O<sub>5</sub> S

Absolute stereochemistry.

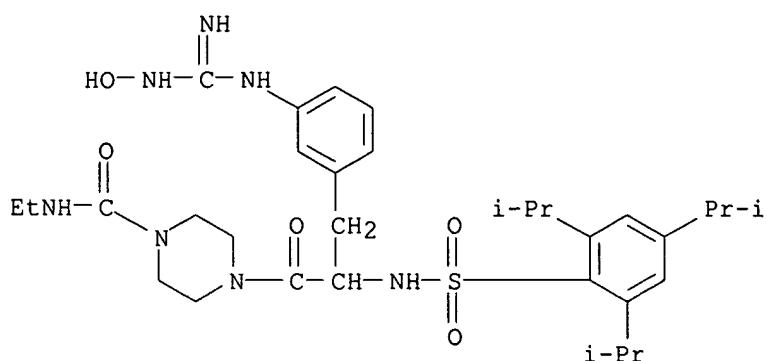


CM 2

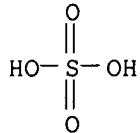
CRN 7664-93-9  
CMF H<sub>2</sub> O<sub>4</sub> S

RN 798560-87-9 USPATFULL  
 CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl 1-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

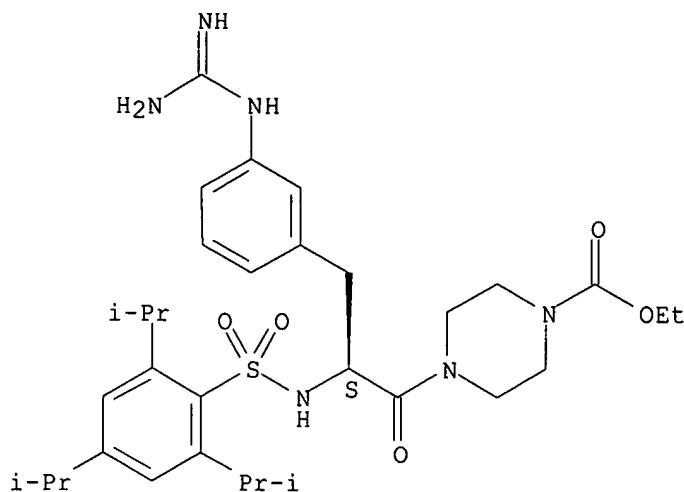
CM 1

CRN 798560-75-5  
CMF C<sub>32</sub> H<sub>49</sub> N<sub>7</sub> O<sub>5</sub> S

CM 2

CRN 7664-93-9  
CMF H2 O4 S

L33 ANSWER 2 OF 6 USPATFULL on STN  
 AN 2005:306484 USPATFULL  
 TI Guanidino phenylalanin compounds used as urokinase inhibitors  
 IN Sperl, Stefan, Munchen, GERMANY, FEDERAL REPUBLIC OF  
 PA Wilex AG, Muenchen, GERMANY, FEDERAL REPUBLIC OF, 81675  
 (non-U.S. corporation)  
 PI US 2005267127 A1 20051201  
 AI US 2003-517518 A1 20030605 (10) <--  
 WO 2003-EP5918 20030605  
 20050701 PCT 371 date  
 PRAI DE 2002-10225876 20020611 <--  
 DT Utility  
 FS APPLICATION  
 LREP GLAXOSMITHKLINE, CORPORATE INTELLECTUAL PROPERTY, MAI B475, FIVE MOORE  
 DR., PO BOX 13398, RESEARCH TRIANGLE PARK, NC, 27709-3398, US  
 CLMN Number of Claims: 16  
 ECL Exemplary Claim: 1  
 DRWN 2 Drawing Page(s)  
 LN.CNT 672  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB The invention relates to the use of derivatives of 3-  
 guanidinophenylalanine as urokinase inhibitors for treating malignant  
 tumors and metastasis.  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 IT 634599-14-7P  
 (guanidinophenylalanine derivs. for urokinase inhibitors and for  
 treatment of cancer)  
 RN 634599-14-7 USPATFULL  
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-  
 1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-,  
 ethyl ester (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

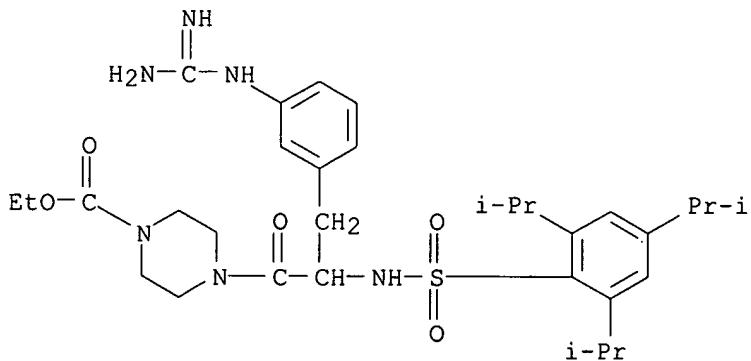


IT 634599-12-5 634599-13-6 634599-15-8  
 634599-16-9 634599-17-0 634599-18-1  
 634599-19-2

(guanidinophenylalanine derivs. for urokinase inhibitors and for treatment of cancer)

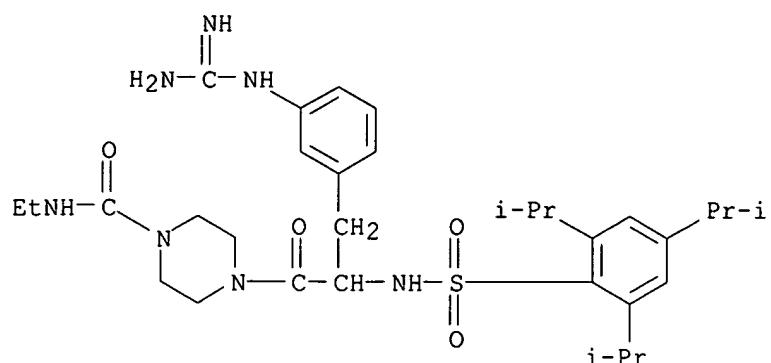
RN 634599-12-5 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-13-6 USPATFULL

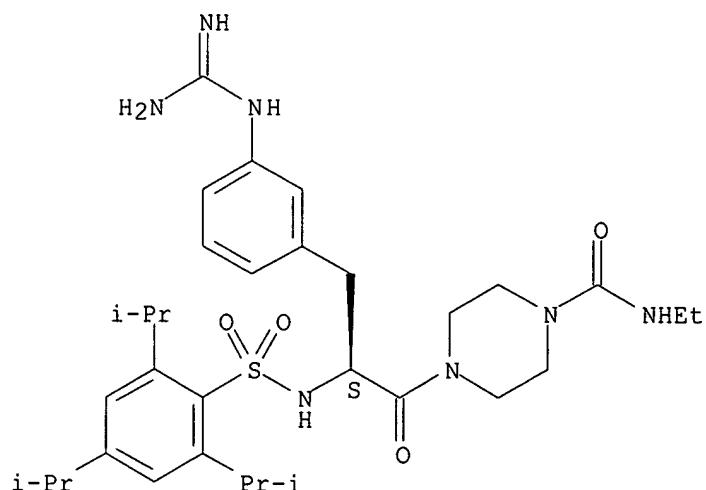
CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 634599-15-8 USPATFULL

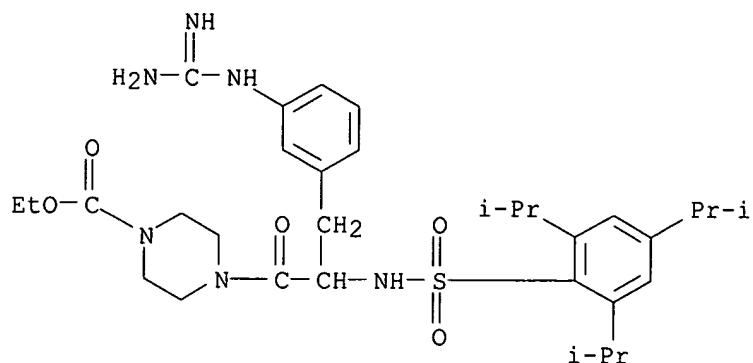
CN 1-Piperazinecarboxamide, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 634599-16-9 USPATFULL

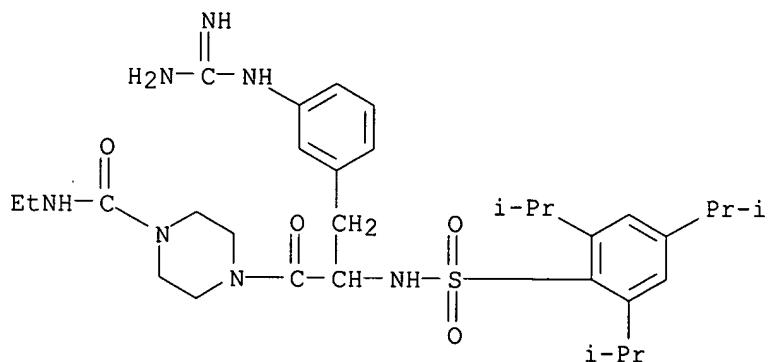
CN 1-Piperazinecarboxylic acid, 4-[[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 634599-17-0 USPATFULL

CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-  
[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-,  
monohydrochloride (9CI) (CA INDEX NAME)

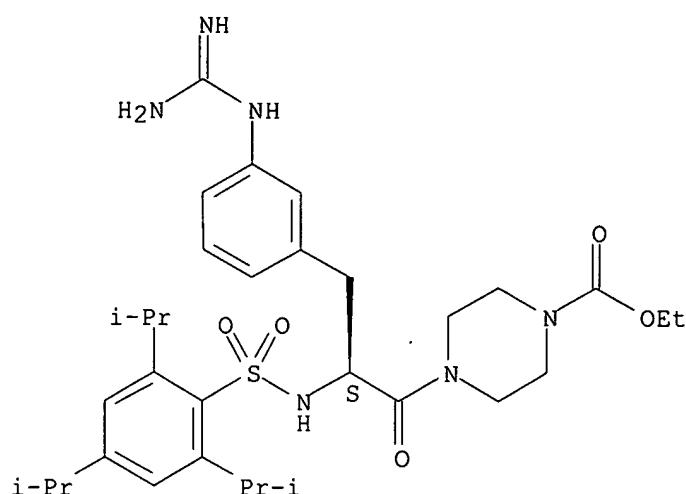


● HCl

RN 634599-18-1 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

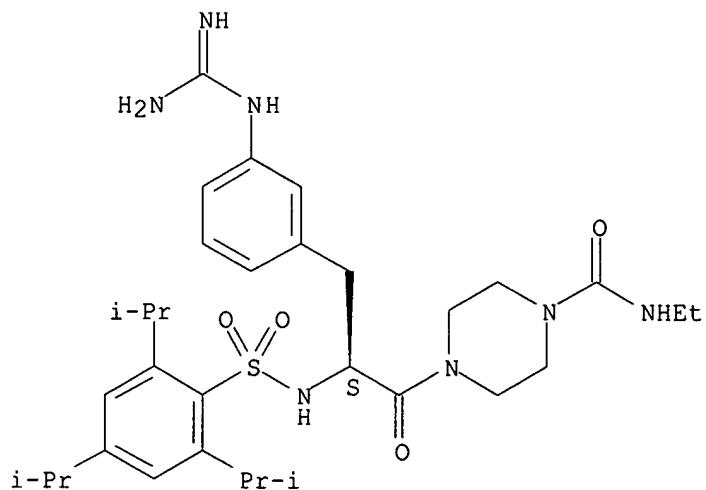


● HCl

RN 634599-19-2 USPATFULL

CN 1-Piperazinecarboxamide, 4-[(2S)-3-[(3-[(aminoiminomethyl)amino]phenyl)-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

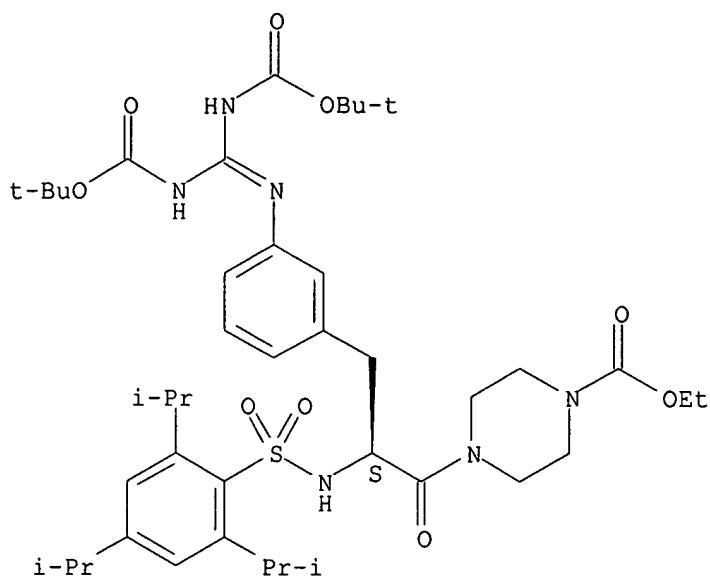
IT 634599-23-8P

(guanidinophenylalanine derivs. for urokinase inhibitors and for treatment of cancer)

RN 634599-23-8 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[bis[[1,1-dimethylethoxy]carbonyl]amino]methylene]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 3 OF 6 USPATFULL on STN

AN 2005:281801 USPATFULL

TI Method for the production of phenylalanine derivatives

IN Wosikowski-Buters, Katja, Poing, GERMANY, FEDERAL REPUBLIC OF Sperl, Stefan, Munchen, GERMANY, FEDERAL REPUBLIC OF

Sommer, Joachim, Wolfersheim, GERMANY, FEDERAL REPUBLIC OF

PI US 2005245757 A1 20051103

AI US 2003-522218 A1 20030725 (10)

<--

WO 2003-EP8230 20030725

20050124 PCT 371 date

DT Utility

FS APPLICATION

LREP Michael Zaronias, Cook Alex McFarron Manzo Cummings & Mehler, Suite 2850, 200 West Adams, Chicago, IL, 60606, US

CLMN Number of Claims: 5

ECL Exemplary Claim: 1-5

DRWN 4 Drawing Page(s)

LN.CNT 252

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to an improved method for the production of 3-amidino- or 3-guanidinophenylalanine derivatives, especially triisopropylphenyl-sulfonyl-substituted 3-amidino- or 3-guanidinophenylalanine derivatives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

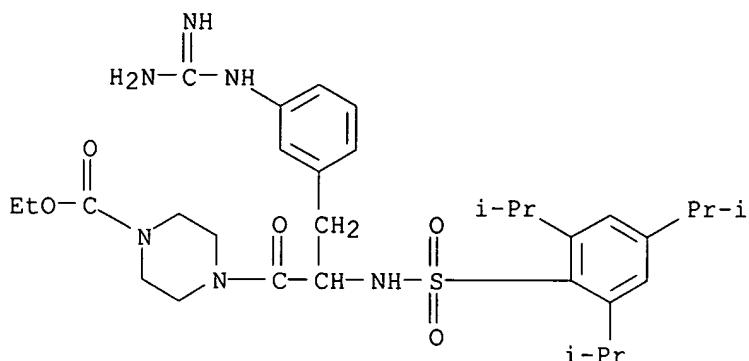
IT 634599-12-5P 634599-14-7P

(preparation of N-substituted 3-amidino- or -guanidino-phenylalanine derivs.)

RN 634599-12-5 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-

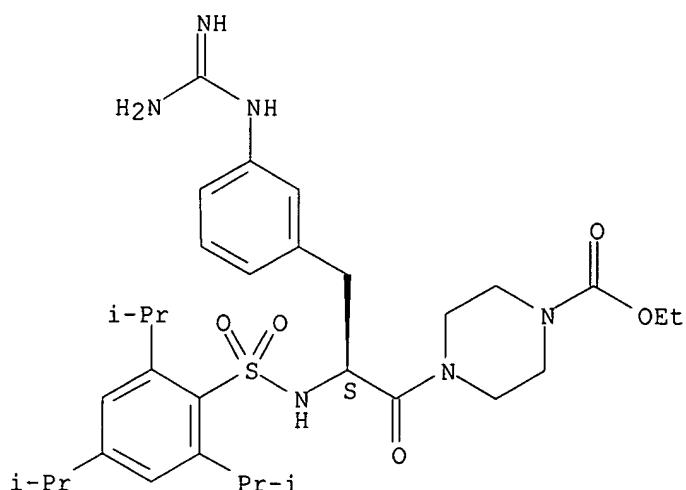
oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-14-7 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[(3-[(aminoiminomethyl)amino]phenyl)-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 4 OF 6 USPATFULL on STN

AN 2005:208556 USPATFULL

TI Formulation of liposomal derivatives of phenylalanine

IN Wosikowski-Buters, Katja, Poing, GERMANY, FEDERAL REPUBLIC OF Schmalix, Wolfgang, Grobenzell, GERMANY, FEDERAL REPUBLIC OF

PA WILEX AG, Munchen, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

PI US 2005181034 A1 20050818

AI US 2003-521805 A1 20030722 (10) WO 2003-EP8011 20030722

<--

PRAI DE 2002-10233632 20020724

<--

DT Utility

FS APPLICATION

LREP ROTHWELL, FIGG, ERNST & MANBECK, P.C., 1425 K STREET, N.W., SUITE 800,

WASHINGTON, DC, 20005, US

CLMN Number of Claims: 27

ECL Exemplary Claim: 1-27

DRWN 5 Drawing Page(s)

LN.CNT 746

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to pharmaceutical formulations of phenylalanine derivatives and to the use thereof as urokinase inhibitors, in particular for the treatment of malignant tumors and of tumor metastases.

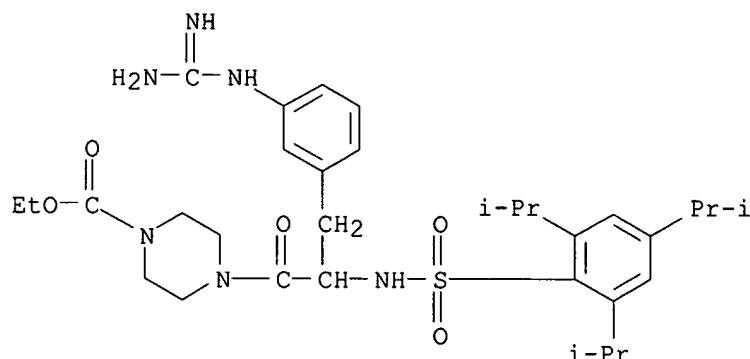
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 634599-12-5 634599-14-7 634599-18-1

(liposomal formulations of 3-amidino- and 3-guanidino phenylalanine derivs. for use as urokinase inhibitors in cancer treatment)

RN 634599-12-5 USPATFULL

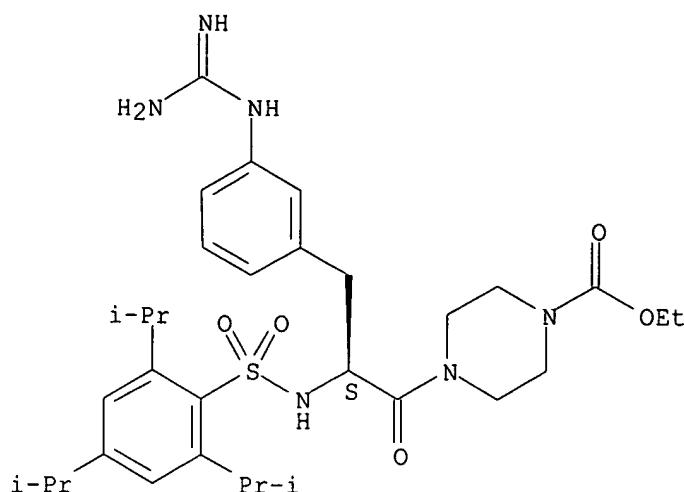
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-14-7 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

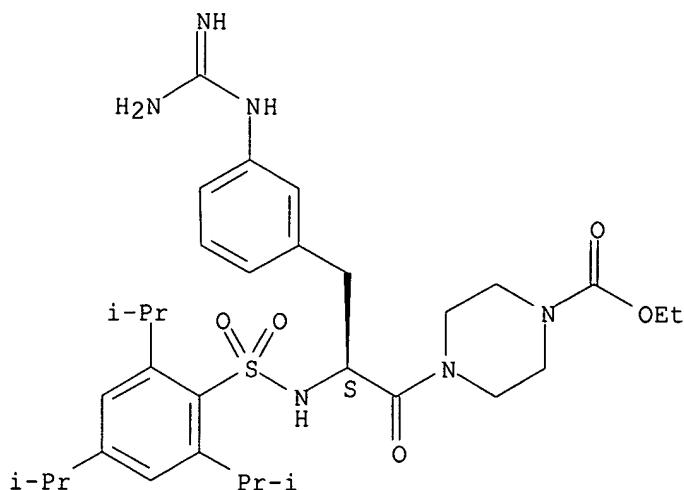
Absolute stereochemistry.



RN 634599-18-1 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L33 ANSWER 5 OF 6 USPATFULL on STN

AN 2005:171786 USPATFULL

TI IAP nucleobase oligomers and oligomeric complexes and uses thereof

IN LaCasse, Eric, Ottawa, CANADA

McManus, Daniel, Ottawa, CANADA

PI US 2005148535 A1 20050707

AI US 2004-975974 A1 20041028 (10)

PRAI US 2003-516192P 20031030 (60)

<--

DT Utility  
 FS APPLICATION  
 LREP CLARK & ELBING LLP, 101 FEDERAL STREET, BOSTON, MA, 02110, US  
 CLMN Number of Claims: 48  
 ECL Exemplary Claim: 1  
 DRWN 15 Drawing Page(s)  
 LN.CNT 3022

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides nucleobase oligomers and oligomer complexes that inhibit expression of an IAP polypeptide, and methods for using them to induce apoptosis in a cell. The nucleobase oligomers and oligomer complexes of the present invention may also be used to form pharmaceutical compositions. The invention also features methods for enhancing apoptosis in a cell by administering a nucleobase oligomer or oligomer complex of the invention in combination with a chemotherapeutic or chemosensitizing agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 606941-37-1, WX-UK1

(human protein IAP (inhibitor of apoptosis protein) nucleobase oligomers, including dsRNA, shRNA, and siRNA, and their use for enhancing apoptosis in cancer therapy)

RN 606941-37-1 USPATFULL

L33 ANSWER 6 OF 6 USPATFULL on STN

AN 2005:138567 USPATFULL

TI Methods and reagents for the treatment of proliferative diseases

IN LaCasse, Eric, Ottawa, CANADA

McManus, Daniel, Ottawa, CANADA

Durkin, Jon P., Montreal, CANADA

PI US 2005119217 A1 20050602

AI US 2004-975790 A1 20041028 (10)

PRAI US 2003-516263P 20031030 (60)

<--

DT Utility

FS APPLICATION

LREP CLARK & ELBING LLP, 101 FEDERAL STREET, BOSTON, MA, 02110, US

CLMN Number of Claims: 58

ECL Exemplary Claim: 1

DRWN 34 Drawing Page(s)

LN.CNT 5896

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention features methods, compositions, and kits for treating a patient having a proliferative disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 606941-37-1, WX-UK1

(sequences of antisense IAP (inhibitor of apoptosis protein) oligomers and their use for treatment of proliferative diseases with a chemotherapeutic agent)

RN 606941-37-1 USPATFULL

=> => fil reg

FILE 'REGISTRY' ENTERED AT 07:26:02 ON 14 AUG 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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STRUCTURE FILE UPDATES: 11 AUG 2006 HIGHEST RN 900864-99-5  
 DICTIONARY FILE UPDATES: 11 AUG 2006 HIGHEST RN 900864-99-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

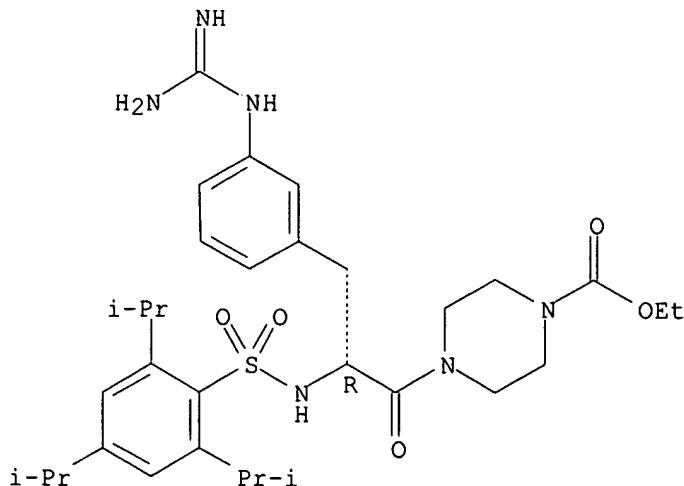
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> d 115 ide can tot

L15 ANSWER 1 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 857521-76-7 REGISTRY  
 ED Entered STN: 29 Jul 2005  
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H48 N6 O5 S  
 CI COM  
 SR CA

Absolute stereochemistry.

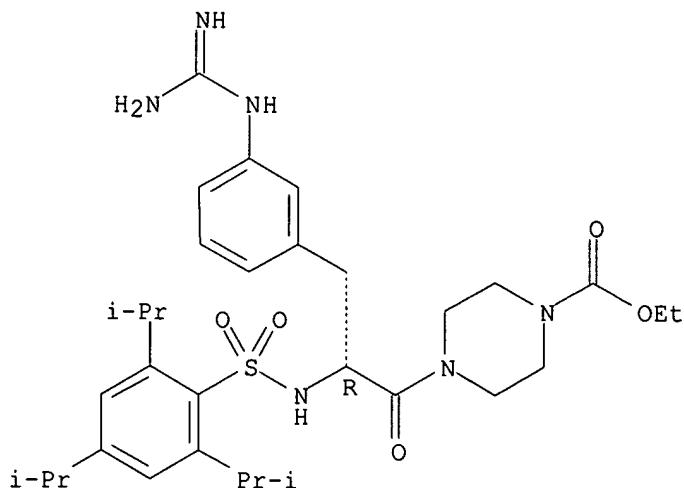


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L15 ANSWER 2 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 857348-85-7 REGISTRY

ED Entered STN: 28 Jul 2005  
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN D-WX-UK 1  
 FS STEREOSEARCH  
 MF C32 H48 N6 O5 S . Cl H  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER  
 CRN (857521-76-7)

Absolute stereochemistry.



● HCl

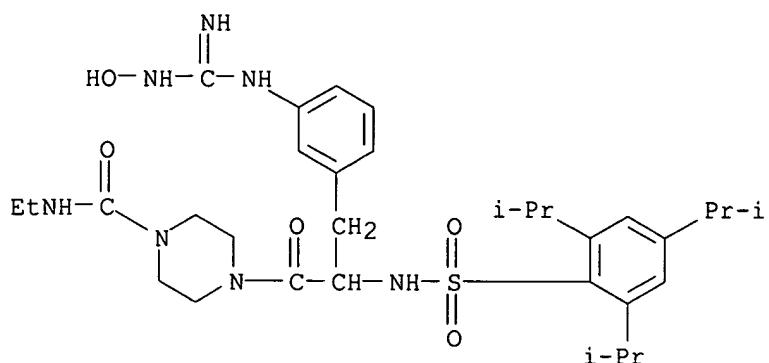
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REFERENCE 1: 143:109127

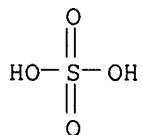
L15 ANSWER 3 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 798560-87-9 REGISTRY  
 ED Entered STN: 16 Dec 2004  
 CN 1-Piperazinecarboxamide, N-ethyl-4-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)  
 MF C32 H49 N7 O5 S . 1/2 H2 O4 S  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 798560-75-5  
 CMF C32 H49 N7 O5 S



CM 2

CRN 7664-93-9  
CMF H2 O4 S2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

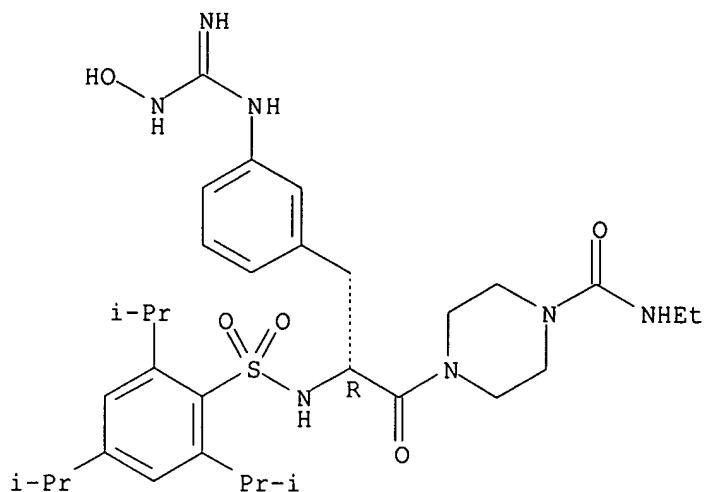
REFERENCE 2: 142:6829

L15 ANSWER 4 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 798560-86-8 REGISTRY  
 ED Entered STN: 16 Dec 2004  
 CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H49 N7 O5 S . 1/2 H2 O4 S  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

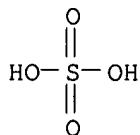
CRN 798560-74-4  
CMF C32 H49 N7 O5 S

Absolute stereochemistry.



CM 2

CRN 7664-93-9  
CMF H2 O4 S



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 5 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN

RN 798560-85-7 REGISTRY

ED      Entered STN: 16 Dec 2004

CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[3-  
[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[2,4,6-tris(1-  
methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI)  
(CA INDEX NAME)

FS STEREOSEARCH

MF C32 H49 N7 O5 S . 1/2 H2 O4 S

SR      CA

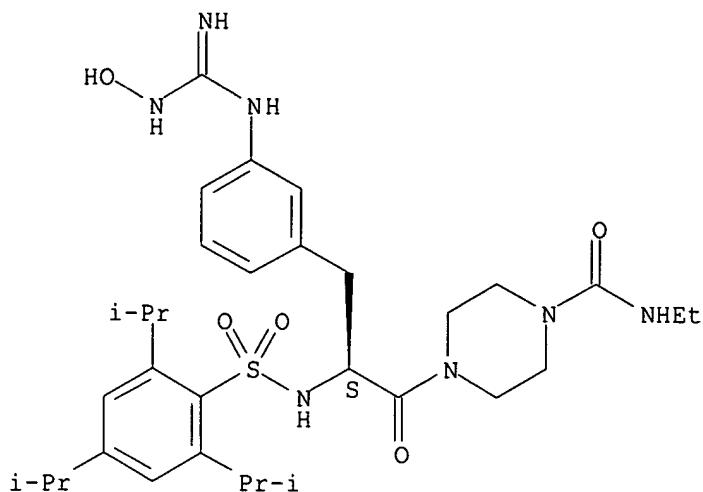
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

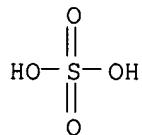
CRN 798560-73-3

CMF C32 H49 N7 O5 S

## Absolute stereochemistry.



CM 2

CRN 7664-93-9  
CMF H<sub>2</sub> O<sub>4</sub> S2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

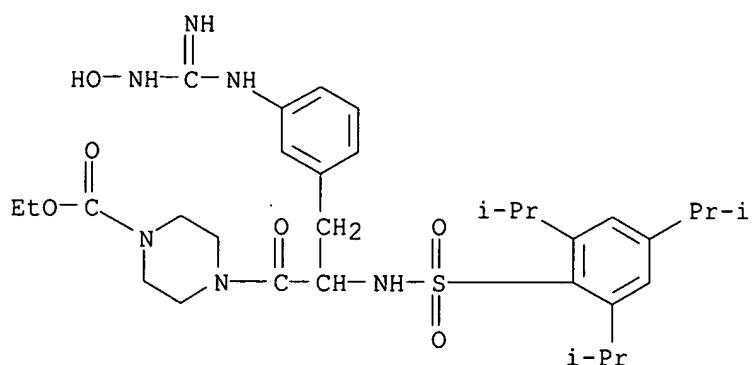
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REFERENCE 2: 142:6829

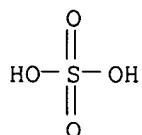
L15 ANSWER 6 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 798560-84-6 REGISTRY  
 ED Entered STN: 16 Dec 2004  
 CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)  
 MF C32 H48 N6 O6 S . 1/2 H<sub>2</sub> O<sub>4</sub> S  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 798560-72-2  
CMF C32 H48 N6 O6 S



CM 2

CRN 7664-93-9  
CMF H2 O4 S2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

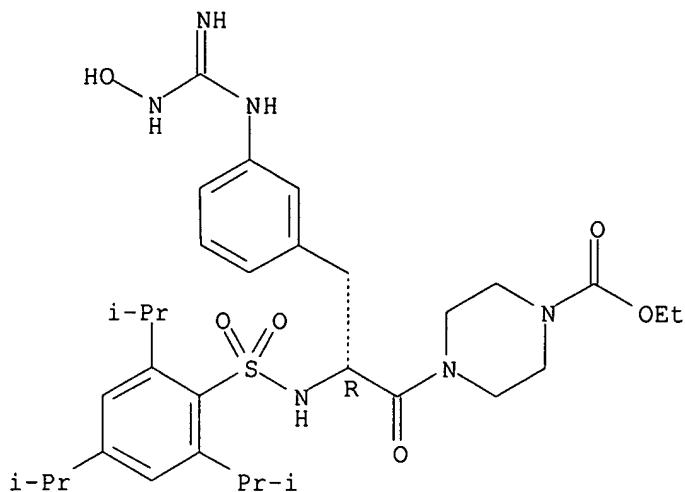
REFERENCE 2: 142:6829

L15 ANSWER 7 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 798560-83-5 REGISTRY  
 ED Entered STN: 16 Dec 2004  
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(hydroxyamino)iminomethyl]aminophenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H48 N6 O6 S . 1/2 H2 O4 S  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

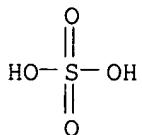
CM 1

CRN 798560-71-1  
CMF C32 H48 N6 O6 S

Absolute stereochemistry.



CM 2

CRN 7664-93-9  
CMF H2 O4 S2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

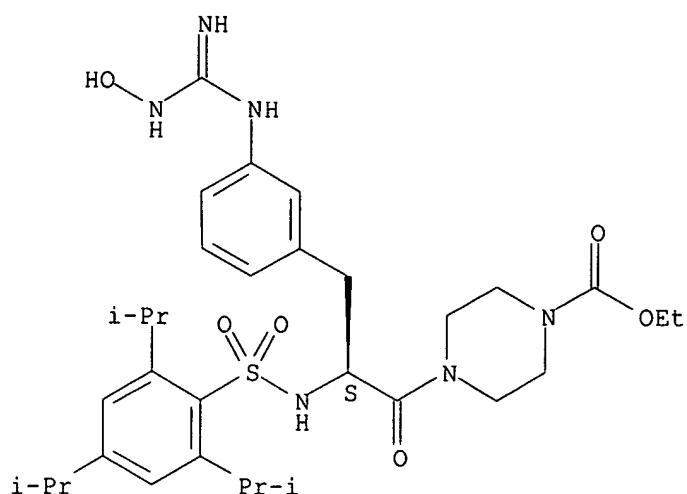
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L15 ANSWER 8 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 798560-82-4 REGISTRY  
 ED Entered STN: 16 Dec 2004  
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(hydroxyamino)iminomethyl]aminophenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H48 N6 O6 S . 1/2 H2 O4 S  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

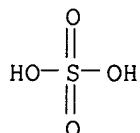
CM 1

CRN 798560-67-5  
CMF C32 H48 N6 O6 S

Absolute stereochemistry.



CM 2

CRN 7664-93-9  
CMF H<sub>2</sub> O<sub>4</sub> S2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 9 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN

RN 798560-75-5 REGISTRY

ED Entered STN: 16 Dec 2004

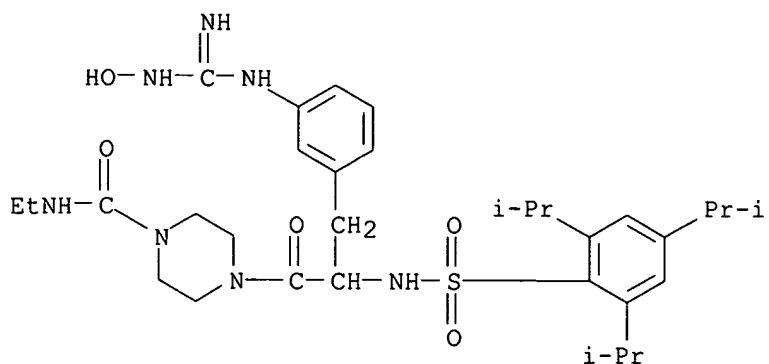
CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl- (9CI) (CA INDEX NAME)

MF C32 H49 N7 O5 S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 10 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 798560-74-4 REGISTRY

ED Entered STN: 16 Dec

ED Entered SIN: 16 Dec 2004  
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[3-  
[[ (hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-  
methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)

## FS STEREOSEARCH

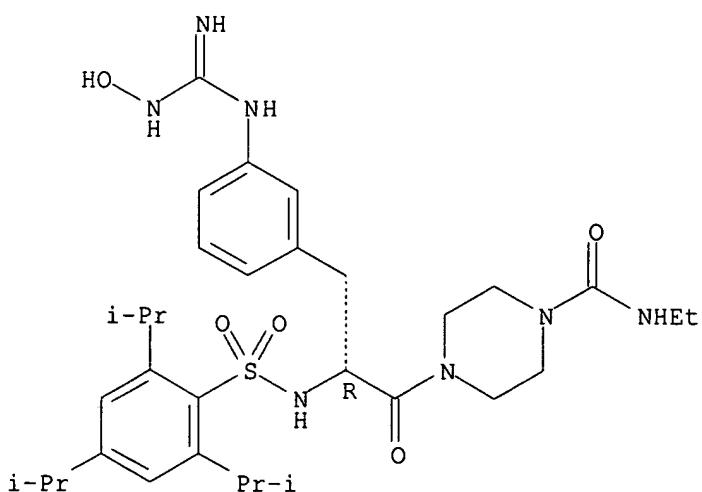
MF C32 H49 N7 05 S

CI COM

SR CA

SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATENT

## Absolute stereochemistry



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

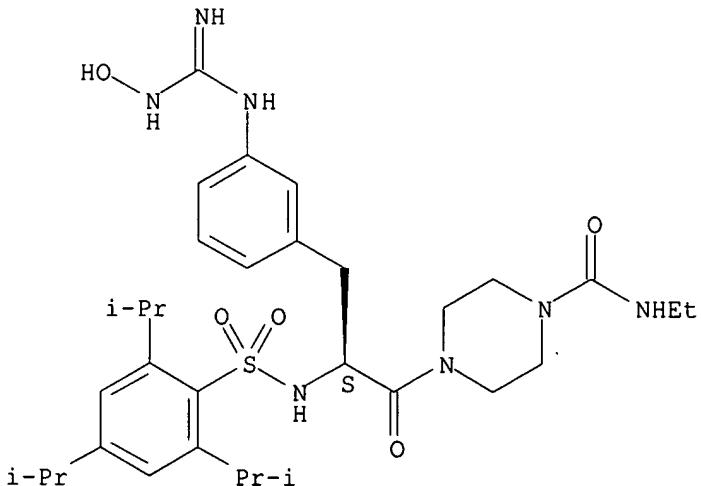
2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 11 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 798560-73-3 REGISTRY  
 ED Entered STN: 16 Dec 2004  
 CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[3-  
 [[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-  
 methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H49 N7 O5 S  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 12 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 798560-72-2 REGISTRY  
 ED Entered STN: 16 Dec 2004  
 CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(hydroxyamino)iminomethyl]amino]phe

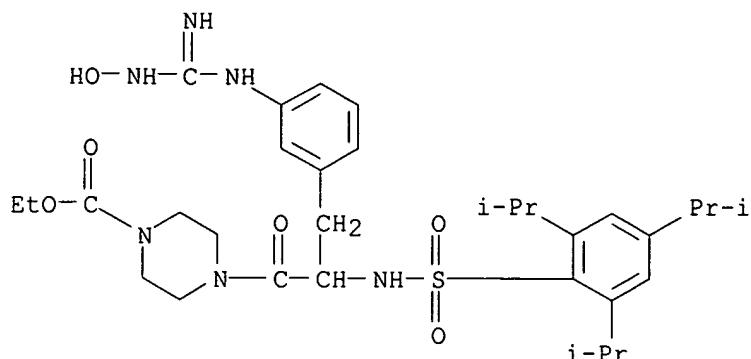
nyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

MF C32 H48 N6 O6 S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 13 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN

RN 798560-71-1 REGISTRY

ED Entered STN: 16 Dec 2004

CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[(3-[(hydroxyamino)iminomethyl]amino)phenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

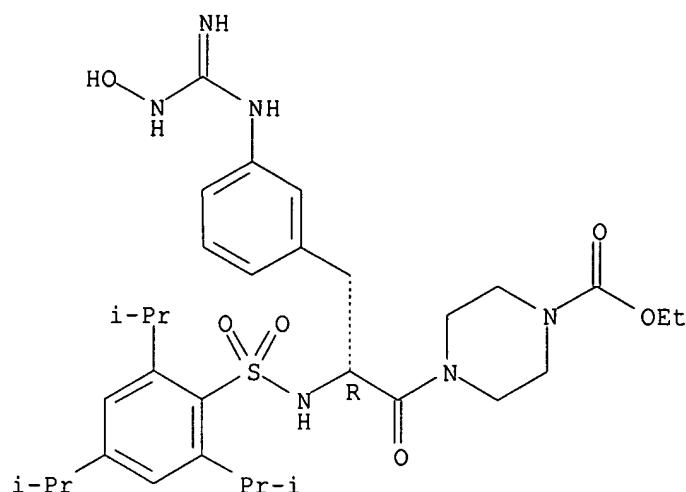
MF C32 H48 N6 O6 S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

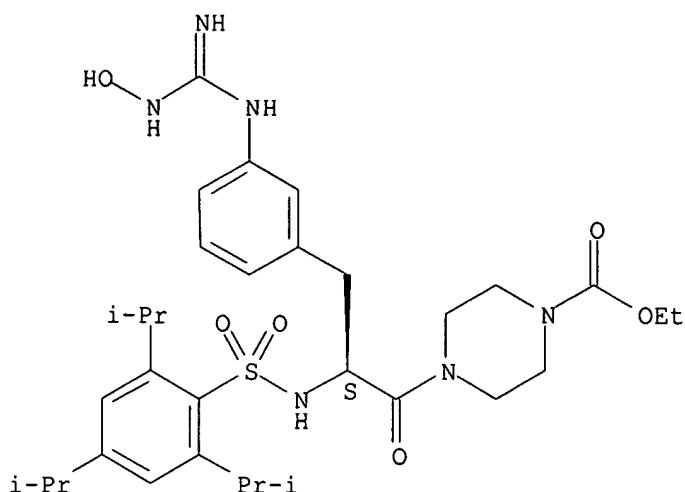
2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 14 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 798560-67-5 REGISTRY  
 ED Entered STN: 16 Dec 2004  
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[[(hydroxyamino)iminomethyl]aminophenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H48 N6 O6 S  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

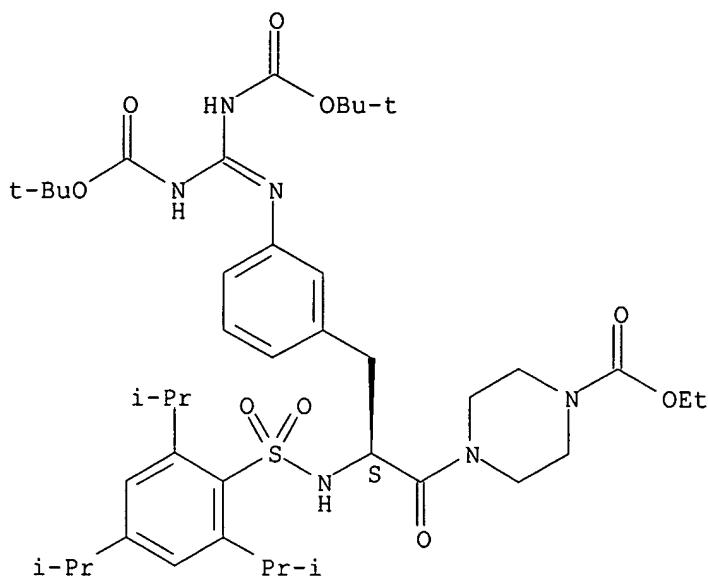
2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 15 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 634599-23-8 REGISTRY  
ED Entered STN: 06 Jan 2004  
CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[bis[[[1,1-dimethylethoxy)carbonyl]amino]methylene]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C42 H64 N6 O9 S  
SR CA  
LC STN Files: CA, CAPIUS, TOXCENTER, USPATEFULL

### Absolute stereochemistry.



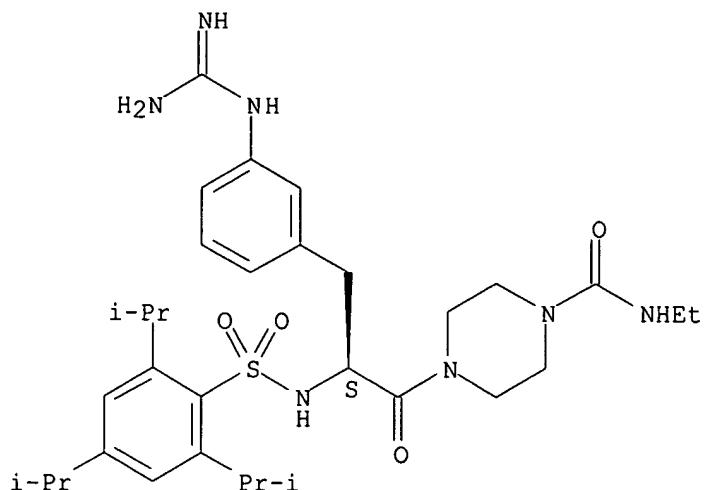
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 16 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 634599-19-2 REGISTRY  
ED Entered STN: 06 Jan 2004  
CN 1-Piperazinecarboxamide, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C32 H49 N7 O4 S . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
CRN (634599-15-8)

### Absolute stereochemistry.



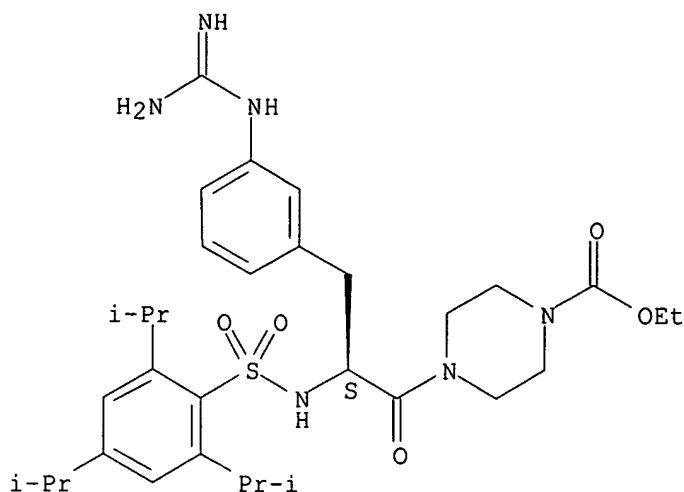
● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 17 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 634599-18-1 REGISTRY  
 ED Entered STN: 06 Jan 2004  
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[(3-[(aminoiminomethyl)amino]phenyl)-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN WX-UK 1  
 FS STEREOSEARCH  
 DR 606941-37-1  
 MF C32 H48 N6 O5 S . Cl H  
 SR CA  
 LC STN Files: BIOSIS, CA, CAPLUS, TOXCENTER, USPATFULL  
 CRN (634599-14-7)

Absolute stereochemistry.

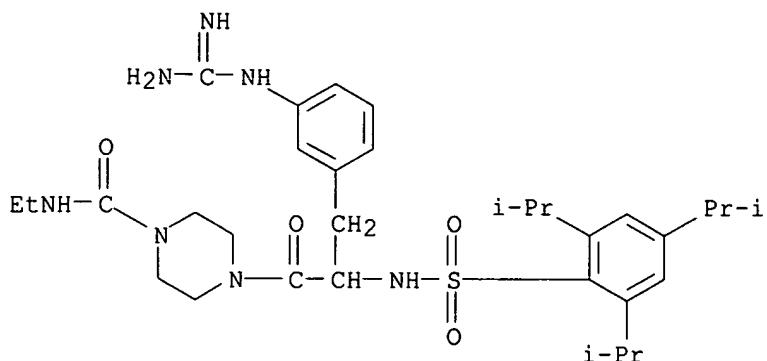


● HCl

11 REFERENCES IN FILE CA (1907 TO DATE)  
 11 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:318610  
 REFERENCE 2: 144:225468  
 REFERENCE 3: 144:46998  
 REFERENCE 4: 143:109127  
 REFERENCE 5: 142:457053  
 REFERENCE 6: 142:457052  
 REFERENCE 7: 142:349042  
 REFERENCE 8: 141:17137  
 REFERENCE 9: 140:151935  
 REFERENCE 10: 140:23227

L15 ANSWER 18 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 634599-17-0 REGISTRY  
 ED Entered STN: 06 Jan 2004  
 CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-  
 [[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-,  
 monohydrochloride (9CI) (CA INDEX NAME)  
 MF C32 H49 N7 O4 S . Cl H  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
 CRN (634599-13-6)

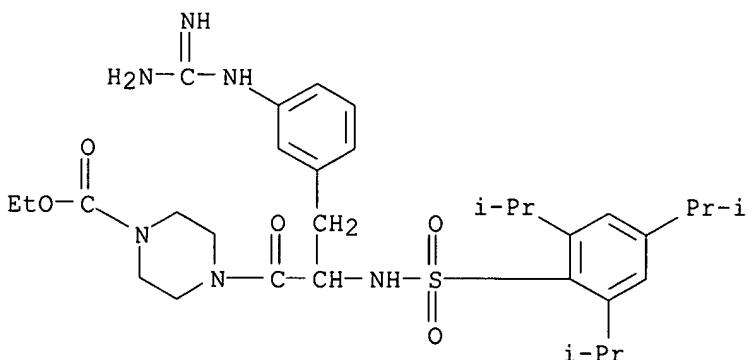


● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 19 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 634599-16-9 REGISTRY  
 ED Entered STN: 06 Jan 2004  
 CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)  
 MF C32 H48 N6 O5 S . Cl H  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
 CRN (634599-12-5)



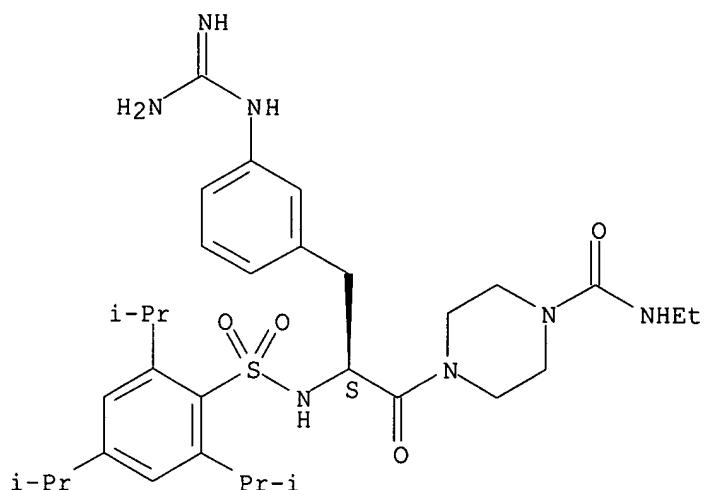
● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 20 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 634599-15-8 REGISTRY  
 ED Entered STN: 06 Jan 2004  
 CN 1-Piperazinecarboxamide, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H49 N7 O4 S  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



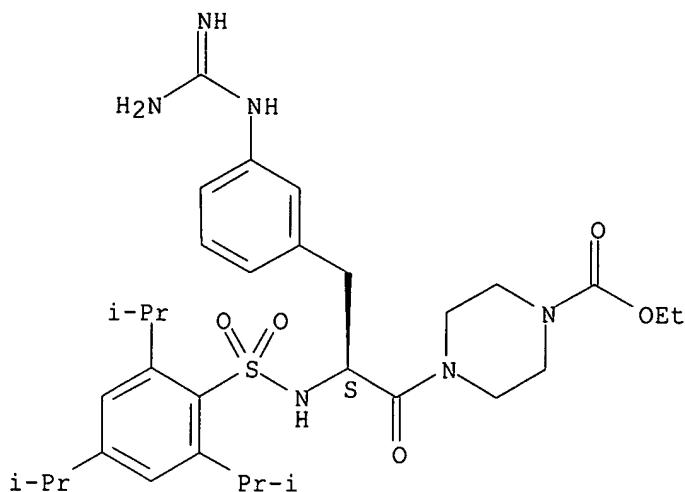
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 21 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 634599-14-7 REGISTRY  
 ED Entered STN: 06 Jan 2004  
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H48 N6 O5 S  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)  
 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:318610

REFERENCE 2: 140:151935

REFERENCE 3: 140:146510

REFERENCE 4: 140:146509

REFERENCE 5: 140:23227

L15 ANSWER 22 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN

RN 634599-13-6 REGISTRY

ED Entered STN: 06 Jan 2004

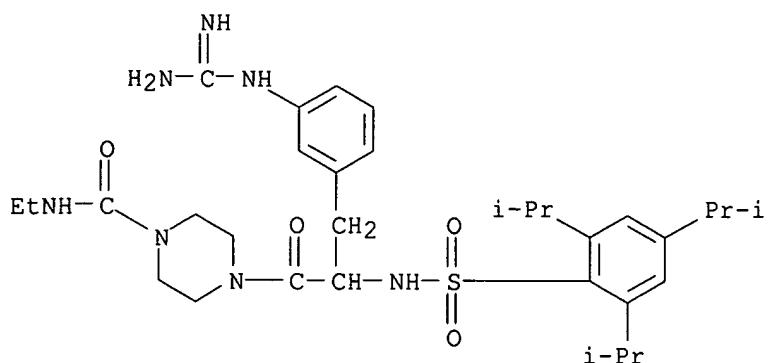
CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI)  
 (CA INDEX NAME)

MF C32 H49 N7 O4 S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

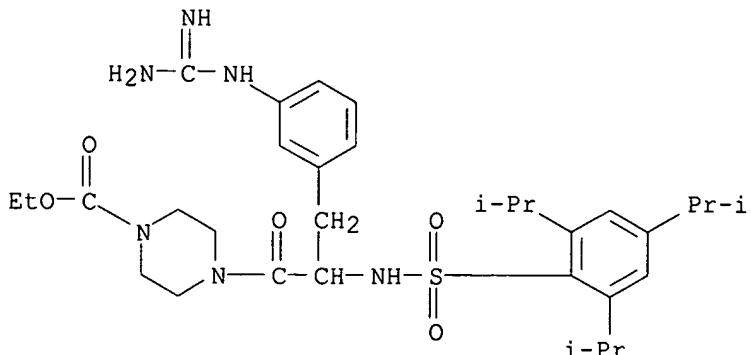


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 23 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 634599-12-5 REGISTRY  
 ED Entered STN: 06 Jan 2004  
 CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)  
 MF C32 H48 N6 O5 S  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)  
 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:318610

REFERENCE 2: 140:151935

REFERENCE 3: 140:146510

REFERENCE 4: 140:146509

REFERENCE 5: 140:23227

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FILE 'BIOSIS' ENTERED AT 07:30:02 ON 14 AUG 2006

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FILE 'MEDLINE' ENTERED AT 07:30:02 ON 14 AUG 2006

FILE 'EMBASE' ENTERED AT 07:30:02 ON 14 AUG 2006

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=> d all tot

L42 ANSWER 1 OF 10 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN  
DUPLICATE 1

AN 2003:286559 BIOSIS

DN PREV200300286559

TI Protease inhibitors prevent plasminogen-mediated, but not pemphigus vulgaris-induced, acantholysis in human epidermis.

AU Schuh, Theda; Besch, Robert; Braungart, Evelyn; Flaig, Michael J.; Douwes, Kathrin; Sander, Christian A.; Magdolen, Viktor; Probst, Christopher; Wosikowski, Katja; Degitz, Klaus [Reprint Author]

CS Department of Dermatology, Ludwig-Maximilians University, D-80337, Munich, Germany

SO Biological Chemistry, (February 2003) Vol. 384, No. 2, pp. 311-315. print.  
ISSN: 1431-6730.

DT Article

LA English

ED Entered STN: 19 Jun 2003

Last Updated on STN: 19 Jun 2003

AB Pemphigus is an autoimmune blistering disease of the skin and mucous membranes. It is caused by autoantibodies directed against desmosomes, which are the principal adhesion structures between epidermal keratinocytes. Binding of autoantibodies leads to the destruction of desmosomes resulting in the loss of cell-cell adhesion (acantholysis) and epidermal blisters. The plasminogen activator system has been implicated as a proteolytic effector in pemphigus. We have tested inhibitors of the plasminogen activator system with regard to their potential to prevent pemphigus-induced cutaneous pathology. In a human split skin culture system, IgG preparations of sera from pemphigus vulgaris patients caused histopathologic changes (acantholysis) similar to those observed in the original pemphigus disease. All inhibitors that were tested (active site inhibitors directed against uPA, tPA, and/or plasmin; antibodies neutralizing the enzymatic activity of uPA or tPA; substances interfering with the binding of uPA to its specific cell surface receptor uPAR) failed to prevent pemphigus vulgaris IgG-mediated acantholysis.

Plasminogen-mediated acantholysis, however, was effectively antagonized by the synthetic active site serine protease inhibitor **WX-UK1** or by p-aminomethylbenzoic acid. Our data argue against applying anti-plasminogen activator/anti-plasmin strategies in the management of pemphigus.

CC Biochemistry studies - Proteins, peptides and amino acids 10064

Enzymes - General and comparative studies: coenzymes 10802

Pathology - Therapy 12512

Integumentary system - Physiology and biochemistry 18504  
 Integumentary system - Pathology 18506  
 Pharmacology - General 22002  
 Pharmacology - Clinical pharmacology 22005  
 Immunology - General and methods 34502  
 Immunology - Immunopathology, tissue immunology 34508  
 IT Major Concepts  
     Immune System (Chemical Coordination and Homeostasis); Integumentary System (Chemical Coordination and Homeostasis); Pharmacology  
 IT Parts, Structures, & Systems of Organisms  
     epidermis: integumentary system  
 IT Diseases  
     acantholysis: integumentary system disease  
     Acantholysis (MeSH)  
 IT Diseases  
     pemphigus vulgaris: immune system disease, integumentary system disease  
     Pemphigus (MeSH)  
 IT Chemicals & Biochemicals  
     IgG [immunoglobulin G]; **WX-UK1**: enzyme  
     inhibitor-drug; p-aminomethylbenzoic acid: enzyme inhibitor-drug;  
     plasmin [EC 3.4.21.7]; plasminogen; tPA; urokinase-type plasminogen activator; urokinase-type plasminogen activator receptor  
 ORGN Classifier  
     Hominidae 86215  
 Super Taxa  
     Primates; Mammalia; Vertebrata; Chordata; Animalia  
 Organism Name  
     human (common)  
 Taxa Notes  
     Animals, Chordates, Humans, Mammals, Primates, Vertebrates  
 RN 606941-37-1 (**WX-UK1**)  
 9001-90-5 (plasmin)  
 9001-90-5 (EC 3.4.21.7)  
 9001-91-6 (plasminogen)  
 9039-53-6 (urokinase-type plasminogen activator)  
 L42 ANSWER 2 OF 10 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN  
 AN 2002:386282 BIOSIS  
 DN PREV200200386282  
 TI Antimetastatic efficacy of **WX-UK1** in a resected, spontaneously metastasizing rat mammary tumor model.  
 AU Wosikowski, Katja [Reprint author]; Foekens, John; Setyono-Han, Buddy; Stuerzebecher, Joerg; Tschesche, Harald; Schmalix, Wolfgang  
 CS Wilex AG, Munich, Germany  
 SO Proceedings of the American Association for Cancer Research Annual Meeting, (March, 2002) Vol. 43, pp. 158. print.  
     Meeting Info.: 93rd Annual Meeting of the American Association for Cancer Research. San Francisco, California, USA. April 06-10, 2002.  
     ISSN: 0197-016X.  
 DT Conference; (Meeting)  
     Conference; Abstract; (Meeting Abstract)  
 LA English  
 ED Entered STN: 17 Jul 2002  
     Last Updated on STN: 17 Jul 2002  
 CC General biology - Symposia, transactions and proceedings 00520  
     Cytology - Animal 02506  
     Anatomy and Histology - Surgery 11105  
     Pathology - Therapy 12512  
     Reproductive system - Physiology and biochemistry 16504  
     Pharmacology - General 22002

Neoplasms - Pathology, clinical aspects and systemic effects 24004  
 Neoplasms - Therapeutic agents and therapy 24008  
 IT Major Concepts  
     Pharmacology; Reproductive System (Reproduction); Tumor Biology  
 IT Chemicals & Biochemicals  
     WX-UK1: antineoplastic-drug, subcutaneous  
         administration  
 IT Methods & Equipment  
     tumor resection: surgical method  
 IT Miscellaneous Descriptors  
     drug efficacy; Meeting Abstract  
 ORGN Classifier  
     Muridae 86375  
 Super Taxa  
     Rodentia; Mammalia; Vertebrata; Chordata; Animalia  
 Organism Name  
     BN472 cell line: rat mammary tumor cells  
         rat  
 Taxa Notes  
     Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals,  
         Rodents, Vertebrates

L42 ANSWER 3 OF 10 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN  
 AN 2001:366627 BIOSIS  
 DN PREV200100366627  
 TI Small molecule approach to inhibit the urokinase-type plasminogen activator system.  
 AU Probst, J. C. [Reprint author]; Buergle, M.; Fockens, J.; Kessler, H.;  
     Magdolen, V.; Moroder, L.; Potthoff, N.; Schmalix, W.; Schmiedeberg, N.;  
     Schmitt, M.; Setyono-Han, B.; Sperl, S.; Stuerzebecher, J.  
 CS Erasmus University, Rotterdam, Netherlands  
 SO Proceedings of the American Association for Cancer Research Annual  
     Meeting, (March, 2001) Vol. 42, pp. 69. print.  
     Meeting Info.: 92nd Annual Meeting of the American Association for Cancer  
         Research. New Orleans, LA, USA. March 24-28, 2001. American Association  
         for Cancer Research.  
     ISSN: 0197-016X.  
 DT Conference; (Meeting)  
     Conference; Abstract; (Meeting Abstract)  
 LA English  
 ED Entered STN: 2 Aug 2001  
     Last Updated on STN: 19 Feb 2002  
 CC General biology - Symposia, transactions and proceedings 00520  
     Cytology - Animal 02506  
     Pathology - Therapy 12512  
     Cardiovascular system - Physiology and biochemistry 14504  
     Pharmacology - General 22002  
     Neoplasms - Pathology, clinical aspects and systemic effects 24004  
     Neoplasms - Therapeutic agents and therapy 24008  
 IT Major Concepts  
     Pharmacology; Tumor Biology  
 IT Parts, Structures, & Systems of Organisms  
     aorta: circulatory system; aortic assay, analytical method;  
         extracellular matrix  
 IT Diseases  
     cancer: neoplastic disease  
     Neoplasms (MeSH)  
 IT Chemicals & Biochemicals  
     WX-293: antineoplastic-drug, phenylguanidine-based small molecule  
         inhibitor; WX-360: antineoplastic-drug, peptide-based

urokinase-plasminogen activator receptor antagonist; **WX-UK1**: antineoplastic-drug, protease inhibitor-drug, serine protease inhibitor; urokinase-type plasminogen activator system: inhibition, small molecular approach

IT Miscellaneous Descriptors

tumor angiogenesis; tumor cell migration; tumor invasion; tumor metastasis; Meeting Abstract

ORGN Classifier

Muridae 86375

Super Taxa

Rodentia; Mammalia; Vertebrata; Chordata; Animalia

Organism Name

rat

Taxa Notes

Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates

L42 ANSWER 4 OF 10 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN  
AN 2001:366628 BIOSIS

DN PREV200100366628

TI Anti-tumor and anti-metastatic activity of the urokinase/plasmin inhibitor, **WX-UK1**, as single agent or in combination with epirubicin in the rat BN-472 mammary carcinoma model.

AU Setyono-Han, Buddy [Reprint author]; Schmalix, Wolfgang A.; Sieuwerts, Anieta M.; Timmermans, Mieke; Wilhelm, Olaf G.; Klijn, Jan G. M.; Foekens, John A.

CS University Hospital of Rotterdam, Rotterdam, Netherlands

SO Proceedings of the American Association for Cancer Research Annual Meeting, (March, 2001) Vol. 42, pp. 69. print.

Meeting Info.: 92nd Annual Meeting of the American Association for Cancer Research. New Orleans, LA, USA. March 24-28, 2001. American Association for Cancer Research.

ISSN: 0197-016X.

DT Conference; (Meeting)

Conference; Abstract; (Meeting Abstract)

LA English

ED Entered STN: 2 Aug 2001

Last Updated on STN: 19 Feb 2002

CC General biology - Symposia, transactions and proceedings 00520

Cytology - Animal 02506

Enzymes - General and comparative studies: coenzymes 10802

Pathology - Therapy 12512

Blood - Blood and lymph studies 15002

Blood - Blood cell studies 15004

Respiratory system - Physiology and biochemistry 16004

Reproductive system - Pathology 16506

Endocrine - General 17002

Pharmacology - General 22002

Neoplasms - Immunology 24003

Neoplasms - Pathology, clinical aspects and systemic effects 24004

Neoplasms - Therapeutic agents and therapy 24008

Immunology - General and methods 34502

Immunology - Immunopathology, tissue immunology 34508

IT Major Concepts

Pharmacology; Tumor Biology

IT Parts, Structures, & Systems of Organisms

lung: respiratory system; lymph node: blood and lymphatics, immune system; thymus: blood and lymphatics, endocrine system, immune system

IT Diseases

mammary cancer: neoplastic disease, reproductive system disease/female

IT      Breast Neoplasms (MeSH)

IT      Chemicals & Biochemicals

        WX-UK1: antineoplastic-drug, protease inhibitor-drug, anti-metastatic activity, anti-tumor activity, serine protease inhibitor, urokinase/plasmin inhibitor; WX-UK1-epirubicin: antineoplastic-drug; plasmin; urokinase; urokinase-type plasminogen activator

IT      Miscellaneous Descriptors

        tumor growth; Meeting Abstract

ORGN    Classifier

        Muridae    86375

        Super Taxa

        Rodentia; Mammalia; Vertebrata; Chordata; Animalia

Organism Name

        BN-472 cell line: rat mammary carcinoma cells

        rat: animal model

Taxa Notes

        Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates

RN      9001-90-5 (plasmin)

        9039-53-6 (urokinase)

        9039-53-6 (urokinase-type plasminogen activator)

        139639-24-0 (UROKINASE-TYPE PLASMINOGEN ACTIVATOR)

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AN      2003253590    EMBASE

TI      Inhibitors of the proteolytic activity or urokinase type plasminogen activator.

AU      Rockway T.W.; Giranda V.L.

CS      V.L. Giranda, Department of Cancer Research, Abbott Laboratories, 100 Abbott Park Road, Abbott Park, IL 60064, United States.  
girandav@abbott.com

SO      Current Pharmaceutical Design, (2003) Vol. 9, No. 19, pp. 1483-1498. .

        Refs: 109

        ISSN: 1381-6128    CODEN: CPDEFP

CY      Netherlands

DT      Journal; General Review

FS      016      Cancer

        029      Clinical Biochemistry

        030      Pharmacology

        037      Drug Literature Index

LA      English

SL      English

ED      Entered STN: 10 Jul 2003

        Last Updated on STN: 10 Jul 2003

AB      Urokinase type plasminogen activator (uPA) activates plasminogen to plasmin and is often associated with diseases where tissue remodeling is essential (e.g. cancer, macular degeneration, atherosclerosis). We discuss some of the mechanisms of uPA action in diseases, and evidence that some of the early uPA inhibitors can modulate the progression of these diseases. Recently, a number of research groups have discovered, with the aid of structure-based design, a new generation of uPA inhibitors. These inhibitors are much more potent and selective than their predecessors. We will review this progress here, and give particular attention to the structural rationale associated with these observed increases in potency and selectivity.

CT      Medical Descriptors:  
        protein degradation  
        plasminogen activation

cancer therapy  
retina macula degeneration  
atherosclerosis  
drug mechanism  
drug structure  
drug design  
drug potency  
drug effect  
drug tolerability  
antineoplastic activity  
structure activity relation  
breast cancer: DT, drug therapy  
prostate cancer: DT, drug therapy  
enzyme activity  
human  
nonhuman  
review  
priority journal

## Drug Descriptors:

\*plasminogen activator inhibitor: AN, drug analysis  
\*plasminogen activator inhibitor: DV, drug development  
\*plasminogen activator inhibitor: PD, pharmacology  
\*plasminogen activator inhibitor: SC, subcutaneous drug administration  
plasminogen: EC, endogenous compound  
plasmin: EC, endogenous compound  
amiloride: DV, drug development  
amiloride: PD, pharmacology  
potassium sparing diuretic agent: DT, drug therapy  
potassium sparing diuretic agent: PD, pharmacology  
tamoxifen: DT, drug therapy  
tamoxifen: PD, pharmacology  
antiestrogen: DT, drug therapy  
antiestrogen: PD, pharmacology  
benzamidine derivative: AN, drug analysis  
benzamidine derivative: DV, drug development  
benzamidine derivative: PD, pharmacology  
guanidine derivative: AN, drug analysis  
guanidine derivative: DV, drug development  
guanidine derivative: PD, pharmacology  
phenylguanidine: AN, drug analysis  
phenylguanidine: DV, drug development  
phenylguanidine: PD, pharmacology  
4 chlorophenylguanidine: AN, drug analysis  
4 chlorophenylguanidine: DV, drug development  
4 chlorophenylguanidine: PD, pharmacology  
4 trifluoromethylphenylguanidine: AN, drug analysis  
4 trifluoromethylphenylguanidine: DV, drug development  
4 trifluoromethylphenylguanidine: PD, pharmacology  
aryl amidine derivative: AN, drug analysis  
aryl amidine derivative: DV, drug development  
aryl amidine derivative: PD, pharmacology  
naphthamidine derivative: AN, drug analysis  
naphthamidine derivative: DV, drug development  
naphthamidine derivative: PD, pharmacology  
benzo[b]thiophene 2 carboxamidine: AN, drug analysis  
benzo[b]thiophene 2 carboxamidine: DV, drug development  
benzo[b]thiophene 2 carboxamidine: PD, pharmacology  
6,8 disubstituted naphthamidine derivative: AN, drug analysis  
6,8 disubstituted naphthamidine derivative: DV, drug development  
6,8 disubstituted naphthamidine derivative: PD, pharmacology

2 naphthamidine: AN, drug analysis  
 2 naphthamidine: DV, drug development  
 2 naphthamidine: PD, pharmacology  
 7 methoxy 8 acetamidoxy 2 naphthamidine: AN, drug analysis  
 7 methoxy 8 acetamidoxy 2 naphthamidine: DV, drug development  
 7 methoxy 8 acetamidoxy 2 naphthamidine: PD, pharmacology  
 8 methylcarbamate 2 naphthamidine: AN, drug analysis  
 8 methylcarbamate 2 naphthamidine: DV, drug development  
 8 methylcarbamate 2 naphthamidine: PD, pharmacology  
 2 aminoquinoline derivative: AN, drug analysis  
 2 aminoquinoline derivative: DV, drug development  
 2 aminoquinoline derivative: PD, pharmacology  
 2 aminobenzimidazole derivative: AN, drug analysis  
 2 aminobenzimidazole derivative: DV, drug development  
 2 aminobenzimidazole derivative: PD, pharmacology  
 amidinoindole derivative: AN, drug analysis  
 amidinoindole derivative: DV, drug development  
 amidinoindole derivative: PD, pharmacology  
 amidinobenzimidazole derivative: AN, drug analysis  
 amidinobenzimidazole derivative: DV, drug development  
 amidinobenzimidazole derivative: PD, pharmacology  
 thiophene 2 carboxamidine derivative: AN, drug analysis  
 thiophene 2 carboxamidine derivative: DV, drug development  
 thiophene 2 carboxamidine derivative: PD, pharmacology  
 antineoplastic agent: AN, drug analysis  
 antineoplastic agent: DV, drug development  
 antineoplastic agent: PD, pharmacology  
 kallikrein: EC, endogenous compound  
 blood clotting factor 10a: EC, endogenous compound  
 blood clotting factor 7a: EC, endogenous compound  
 unclassified drug  
 b 428  
 b 623

**wx uk 1**

RN (plasminogen activator inhibitor) 105844-41-5; (plasminogen) 9001-91-6;  
 (plasmin) 9001-90-5, 9004-09-5; (amiloride) 2016-88-8, 2609-46-3;  
 (tamoxifen) 10540-29-1; (phenylguanidine) 2002-16-6; (kallikrein)  
 8006-48-2, 9001-01-8; (blood clotting factor 10a) 72162-96-0, 9002-05-5;  
 (blood clotting factor 7a) 98982-74-2  
 CN B 428; B 623; **Wx uk 1**  
 CO Axys

L42 ANSWER 6 OF 10 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights  
 reserved on STN  
 AN 2003250034 EMBASE  
 TI Small molecule inhibitors of urokinase-type plasminogen activator.  
 AU Rockway T.W.  
 CS T.W. Rockway, Abbott Laboratories, Global Pharmaceutical Res./Devmt., 200  
 Abbott Park Road, Abbott Park, IL 60064-6217, United States.  
 todd.w.rockway@abbott.com  
 SO Expert Opinion on Therapeutic Patents, (1 Jun 2003) Vol. 13, No. 6, pp.  
 773-786.  
 Refs: 60  
 ISSN: 1354-3776 CODEN: EOTPEG  
 CY United Kingdom  
 DT Journal; General Review  
 FS 016 Cancer  
 030 Pharmacology  
 037 Drug Literature Index  
 038 Adverse Reactions Titles

LA English  
SL English  
ED Entered STN: 10 Jul 2003  
Last Updated on STN: 10 Jul 2003  
AB The urokinase-type plasminogen activator (uPA) protein is a multifunctional protein involved in a myriad of biological activities including extracellular matrix degradation and cell invasion. Active uPA is a 411 amino acid protein consisting of 3 domains, each of which confers a particular biological function to the overall protein. The amino terminal domain or growth factor domain (GFD), comprised of amino acid residues 1-48, is involved in uPA interaction with its cell surface receptor, urokinase-type plasminogen activator receptor (UPAR). The interaction of uPA with UPAR promotes, in part, cell adhesion, migration and invasion. A second domain is the kringle domain, comprising amino acid residues 49-135. Initially thought to bind heparin, the kringle domain has more recently been shown to possess antiangiogenic activity. A third domain comprising amino acid residues 159-411, the serine protease domain, is involved in the proteolytic activation of plasminogen to plasmin. The production of plasmin by uPA begins a cascade of events manifested by extracellular matrix degradation. The recent patent literature describes small molecule compounds, which inhibit the interaction of uPA with UPAR, inhibit the proteolytic activity of the uPA serine protease domain and inhibit the interaction of uPA with its natural inhibitor, plasminogen activator inhibitor-1 (PAI-1). Small peptides encompassing residues 19-31 of the GFD have been developed which exhibit potent inhibition of the uPA-UPAR interaction and show efficacy in tumour-bearing animal models. Small molecules have been disclosed by Corvas, which are reported to be inhibitors of PAI-1. Finally, two approaches toward the development of inhibitors of the uPA serine protease domain have been described in the recent patent literature. The first approach describes non-covalent peptide-derived inhibitors discovered by phage display techniques, which bind in the substrate-binding groove of the uPA active site. An alternative approach describes non-covalent small molecule inhibitors, which bind in the enzyme active site in a slightly different binding mode than the peptide-derived inhibitors. These small molecule non-peptide analogues inhibit the uPA proteolytic activity quite effectively and are reported to possess excellent enzyme selectivity and highly improved oral activity. The clinical utility of small molecule uPA enzyme inhibitor analogues awaits the results of a preliminary clinical evaluation of compounds described by Wilex.  
CT Medical Descriptors:  
protein function  
extracellular matrix  
cell invasion  
protein domain  
amino terminal sequence  
protein protein interaction  
cell adhesion  
cell migration  
protein binding  
kringle domain  
protein degradation  
drug efficacy  
phage display  
patent  
enzyme binding  
enzyme active site  
drug selectivity  
drug structure  
drug targeting

breast carcinoma: DT, drug therapy

drug bioavailability

side effect: SI, side effect

human

nonhuman

clinical trial

review

CT Drug Descriptors:

\*plasminogen activator inhibitor: AE, adverse drug reaction

\*plasminogen activator inhibitor: CT, clinical trial

\*plasminogen activator inhibitor: AN, drug analysis

\*plasminogen activator inhibitor: DV, drug development

\*plasminogen activator inhibitor: DT, drug therapy

\*plasminogen activator inhibitor: PK, pharmacokinetics

\*plasminogen activator inhibitor: PD, pharmacology

\*plasminogen activator inhibitor: PO, oral drug administration

urokinase: EC, endogenous compound

growth factor: EC, endogenous compound

amino acid: EC, endogenous compound

cell surface receptor: EC, endogenous compound

urokinase receptor: EC, endogenous compound

heparin: EC, endogenous compound

angiogenesis inhibitor: PD, pharmacology

serine proteinase: EC, endogenous compound

plasminogen: EC, endogenous compound

plasmin: EC, endogenous compound

plasminogen activator inhibitor 1: EC, endogenous compound

cyclopeptide: AN, drug analysis

cyclopeptide: DV, drug development

cyclopeptide: DT, drug therapy

cyclopeptide: PD, pharmacology

cyclopeptide: PO, oral drug administration

antineoplastic agent: AE, adverse drug reaction

antineoplastic agent: CT, clinical trial

antineoplastic agent: AN, drug analysis

antineoplastic agent: DV, drug development

antineoplastic agent: DT, drug therapy

antineoplastic agent: PK, pharmacokinetics

antineoplastic agent: PD, pharmacology

antineoplastic agent: PO, oral drug administration

peptoid: AN, drug analysis

peptoid: DV, drug development

peptoid: PD, pharmacology

peptoid: PO, oral drug administration

isothiuronium derivative: AN, drug analysis

isothiuronium derivative: DV, drug development

isothiuronium derivative: PD, pharmacology

isothiuronium derivative: PO, oral drug administration

carboxylic acid derivative: AN, drug analysis

carboxylic acid derivative: DV, drug development

carboxylic acid derivative: PD, pharmacology

carboxylic acid derivative: PO, oral drug administration

benzoic acid derivative: AN, drug analysis

benzoic acid derivative: DV, drug development

benzoic acid derivative: PD, pharmacology

benzoic acid derivative: PO, oral drug administration

rhodamine: AN, drug analysis

rhodamine: DV, drug development

rhodamine: PD, pharmacology

rhodamine: PO, oral drug administration

phenylpropionic acid derivative: AN, drug analysis  
phenylpropionic acid derivative: DV, drug development  
phenylpropionic acid derivative: PD, pharmacology  
phenylpropionic acid derivative: PO, oral drug administration  
guanidine derivative: AN, drug analysis  
guanidine derivative: DV, drug development  
guanidine derivative: PD, pharmacology  
guanidine derivative: PO, oral drug administration  
benzylamine derivative: AN, drug analysis  
benzylamine derivative: DV, drug development  
benzylamine derivative: PD, pharmacology  
benzylamine derivative: PO, oral drug administration  
amidine: AE, adverse drug reaction  
amidine: AN, drug analysis  
amidine: DV, drug development  
amidine: PK, pharmacokinetics  
amidine: PD, pharmacology  
amidine: PO, oral drug administration  
indoloamidine derivative: AN, drug analysis  
indoloamidine derivative: DV, drug development  
indoloamidine derivative: PD, pharmacology  
indoloamidine derivative: PO, oral drug administration  
naphthamidine derivative: AN, drug analysis  
naphthamidine derivative: DV, drug development  
naphthamidine derivative: PK, pharmacokinetics  
naphthamidine derivative: PD, pharmacology  
naphthamidine derivative: PO, oral drug administration

  wx uk1: AE, adverse drug reaction  
  wx uk1: CT, clinical trial  
  wx uk1: AN, drug analysis  
  wx uk1: DV, drug development  
  wx uk1: PK, pharmacokinetics  
  wx uk1: PD, pharmacology  
  wx uk1: PO, oral drug administration

carboline derivative: AN, drug analysis  
carboline derivative: DV, drug development  
carboline derivative: PD, pharmacology  
carboline derivative: PO, oral drug administration  
benzothiophene derivative: AN, drug analysis  
benzothiophene derivative: DV, drug development  
benzothiophene derivative: PD, pharmacology  
benzothiophene derivative: PO, oral drug administration  
unindexed drug

  unclassified drug

  wx uk 1

RN (plasminogen activator inhibitor) 105844-41-5; (urokinase) 139639-24-0;  
(amino acid) 65072-01-7; (heparin) 37187-54-5, 8057-48-5, 8065-01-8,  
9005-48-5; (serine proteinase) 37259-58-8; (plasminogen) 9001-91-6;  
(plasmin) 9001-90-5, 9004-09-5; (plasminogen activator inhibitor 1)  
140208-23-7

CN (1) Wx uk 1

CO (1) Wilex

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AN 2003109633 EMBASE

TI Synthetic urokinase inhibitors as potential antitumor drugs.

AU Steinmetzer T.

CS T. Steinmetzer, Curacyte Chemistry GmbH, Winzerlaer Strasse 2a, 07745  
Jena, Germany. torsten.steinmetzer@curacyte.com

SO IDrugs, (1 Feb 2003) Vol. 6, No. 2, pp. 138-146. .  
Refs: 63  
ISSN: 1369-7056 CODEN: IDRUFN  
CY United Kingdom  
DT Journal; General Review  
FS 016 Cancer  
037 Drug Literature Index  
030 Pharmacology  
029 Clinical Biochemistry  
005 General Pathology and Pathological Anatomy  
038 Adverse Reactions Titles  
LA English  
SL English  
ED Entered STN: 27 Mar 2003  
Last Updated on STN: 27 Mar 2003  
AB Urokinase-mediated plasminogen activation is involved in many normal physiological processes, including tissue remodeling, embryogenesis, wound healing and clot lysis. In addition, elevated levels of urokinase, the urokinase receptor uPA-R and its endogenous inhibitor plasminogen activator inhibitor (PAI-1), in combination with plasmin, play an important role in the pathogenesis of malignancy through its ability to mediate tumor cell growth, invasion and metastatic dissemination. The inhibition of urokinase with synthetic inhibitors is a new concept for a specific cancer therapy. This review examines synthetic urokinase inhibitors described during the last two years.  
CT Medical Descriptors:  
\*cancer: DT, drug therapy  
\*cancer: ET, etiology  
human  
clinical trial  
nonhuman  
plasminogen activation  
enzyme blood level  
tumor growth  
cancer invasion  
metastasis: CO, complication  
metastasis: DT, drug therapy  
enzyme inhibition  
cancer chemotherapy  
drug safety  
drug tolerability  
drug structure  
side effect: SI, side effect  
dose response  
drug absorption  
drug bioavailability  
drug solubility  
drug half life  
drug elimination  
drug design  
review  
CT Drug Descriptors:  
\*plasminogen activator inhibitor: DT, drug therapy  
\*plasminogen activator inhibitor: PD, pharmacology  
\*plasminogen activator inhibitor: AN, drug analysis  
\*plasminogen activator inhibitor: PK, pharmacokinetics  
\*plasminogen activator inhibitor: CT, clinical trial  
\*plasminogen activator inhibitor: CM, drug comparison  
\*plasminogen activator inhibitor: AE, adverse drug reaction  
\*plasminogen activator inhibitor: DO, drug dose

\*plasminogen activator inhibitor: CB, drug combination  
\*plasminogen activator inhibitor: PO, oral drug administration  
\*plasminogen activator inhibitor: IP, intraperitoneal drug administration  
\*plasminogen activator inhibitor: PR, pharmaceutics  
\*plasminogen activator inhibitor: DV, drug development  
antineoplastic agent: DT, drug therapy  
antineoplastic agent: PD, pharmacology  
antineoplastic agent: AN, drug analysis  
antineoplastic agent: PK, pharmacokinetics  
antineoplastic agent: CT, clinical trial  
antineoplastic agent: CM, drug comparison  
antineoplastic agent: AE, adverse drug reaction  
antineoplastic agent: DO, drug dose  
antineoplastic agent: CB, drug combination  
antineoplastic agent: PO, oral drug administration  
antineoplastic agent: IP, intraperitoneal drug administration  
antineoplastic agent: PR, pharmaceutics  
antineoplastic agent: DV, drug development  
urokinase: EC, endogenous compound  
urokinase receptor: EC, endogenous compound  
plasminogen activator inhibitor 1: EC, endogenous compound  
plasmin: EC, endogenous compound  
benzamidine derivative: DT, drug therapy  
benzamidine derivative: PD, pharmacology  
benzamidine derivative: AN, drug analysis  
benzamidine derivative: CB, drug combination  
benzamidine derivative: CT, clinical trial  
benzamidine derivative: DO, drug dose  
benzamidine derivative: AE, adverse drug reaction  
benzamidine derivative: CM, drug comparison  
benzamidine derivative: DV, drug development  
naphthamidine derivative: DT, drug therapy  
naphthamidine derivative: PD, pharmacology  
naphthamidine derivative: AN, drug analysis  
naphthamidine derivative: CB, drug combination  
naphthamidine derivative: CT, clinical trial  
naphthamidine derivative: DO, drug dose  
naphthamidine derivative: AE, adverse drug reaction  
naphthamidine derivative: PK, pharmacokinetics  
naphthamidine derivative: PO, oral drug administration  
naphthamidine derivative: CM, drug comparison  
naphthamidine derivative: DV, drug development  
**wx uk 1: DT, drug therapy**  
**wx uk 1: PD, pharmacology**  
**wx uk 1: AN, drug analysis**  
**wx uk 1: CB, drug combination**  
**wx uk 1: CT, clinical trial**  
**wx uk 1: DO, drug dose**  
**wx uk 1: AE, adverse drug reaction**  
**wx uk 1: CM, drug comparison**  
benzo[b]thiophene 2 carboxamidine derivative: DT, drug therapy  
benzo[b]thiophene 2 carboxamidine derivative: PD, pharmacology  
benzo[b]thiophene 2 carboxamidine derivative: AN, drug analysis  
benzo[b]thiophene 2 carboxamidine derivative: IP, intraperitoneal drug administration  
benzo[b]thiophene 2 carboxamidine derivative: CM, drug comparison  
b 428: DT, drug therapy  
b 428: PD, pharmacology  
b 428: AN, drug analysis  
b 428: IP, intraperitoneal drug administration

b 428: CM, drug comparison  
b 623: DT, drug therapy  
b 623: PD, pharmacology  
b 623: AN, drug analysis  
b 623: IP, intraperitoneal drug administration  
5 amidinobenzimidazole derivative: DT, drug therapy  
5 amidinobenzimidazole derivative: PD, pharmacology  
5 amidinobenzimidazole derivative: AN, drug analysis  
5 amidinobenzimidazole derivative: CM, drug comparison  
5 amidinobenzimidazole derivative: DV, drug development  
5 amidinoindole derivative: DT, drug therapy  
5 amidinoindole derivative: PD, pharmacology  
5 amidinoindole derivative: AN, drug analysis  
5 amidinoindole derivative: CM, drug comparison  
5 amidinoindole derivative: DV, drug development  
4 aminobenzimidine derivative: DT, drug therapy  
4 aminobenzimidine derivative: PD, pharmacology  
4 aminobenzimidine derivative: AN, drug analysis  
4 aminobenzimidine derivative: DV, drug development  
2 amidino 5 thiomethyl thiophene: DT, drug therapy  
2 amidino 5 thiomethyl thiophene: PD, pharmacology  
2 amidino 5 thiomethyl thiophene: AN, drug analysis  
2 amidino 5 thiomethyl thiophene: PK, pharmacokinetics  
2 amidino 5 thiomethyl thiophene: PR, pharmaceutics  
2 amidino 5 thiomethyl thiophene: DV, drug development  
diuretic agent: PD, pharmacology  
diuretic agent: AN, drug analysis  
diuretic agent: CM, drug comparison  
diuretic agent: DT, drug therapy  
diuretic agent: DO, drug dose  
diuretic agent: DV, drug development  
amiloride: PD, pharmacology  
amiloride: AN, drug analysis  
amiloride: CM, drug comparison  
amiloride: DT, drug therapy  
amiloride: DO, drug dose  
amiloride: DV, drug development  
urea derivative: PD, pharmacology  
urea derivative: AN, drug analysis  
urea derivative: CM, drug comparison  
urea derivative: DV, drug development  
wx 293: PD, pharmacology  
wx 293: AN, drug analysis  
wx 293: CM, drug comparison  
wx 293: DV, drug development  
2 pyridinylguanidine derivative: PD, pharmacology  
2 pyridinylguanidine derivative: AN, drug analysis  
2 pyridinylguanidine derivative: DV, drug development  
peptide derivative: PD, pharmacology  
peptide derivative: AN, drug analysis  
peptide derivative: PK, pharmacokinetics  
peptide derivative: DV, drug development  
thrombin inhibitor: DT, drug therapy  
melagatran: DT, drug therapy  
matrix metalloproteinase inhibitor: DT, drug therapy  
matrix metalloproteinase inhibitor: PD, pharmacology  
matrix metalloproteinase inhibitor: CM, drug comparison  
proteinase inhibitor: DT, drug therapy  
proteinase inhibitor: PD, pharmacology  
proteinase inhibitor: CM, drug comparison

batimastat: DT, drug therapy  
 batimastat: PD, pharmacology  
 unclassified drug  
 RN (plasminogen activator inhibitor) 105844-41-5; (urokinase) 139639-24-0;  
 (plasminogen activator inhibitor 1) 140208-23-7; (plasmin) 9001-90-5,  
 9004-09-5; (amiloride) 2016-88-8, 2609-46-3; (melagatran) 159776-70-2;  
 (proteinase inhibitor) 37205-61-1; (batimastat) 130370-60-4, 130464-84-5  
 CN (1) **Wx uk 1**; (2) Wx 293; (3) B 428; (4) B 623  
 CO (2) Wilex; (4) Eisai; Abbott; Axys; 3 Dimensional; Pfizer; Corvas; Astra  
 Zeneca

L42 ANSWER 8 OF 10 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights  
 reserved on STN  
 AN 2002148223 EMBASE  
 TI Anti-metastatic drug well tolerated.  
 SO Pharmaceutical Journal, (6 Apr 2002) Vol. 268, No. 7192, pp. 459. .  
 ISSN: 0031-6873 CODEN: PHJOAV  
 CY United Kingdom  
 DT Journal; Note  
 FS 016 Cancer  
 030 Pharmacology  
 037 Drug Literature Index  
 LA English  
 ED Entered STN: 8 May 2002  
 Last Updated on STN: 8 May 2002  
 CT Medical Descriptors:  
 drug tolerability  
 drug safety  
 volunteer  
 cancer combination chemotherapy  
 breast cancer: DT, drug therapy  
 ovary cancer: DT, drug therapy  
 stomach cancer: DT, drug therapy  
 human  
 human experiment  
 normal human  
 controlled study  
 note  
 Drug Descriptors:  
 \*antimetastatic agent: CB, drug combination  
 \*antimetastatic agent: DV, drug development  
 \*antimetastatic agent: PD, pharmacology  
 \*wx uk1: CB, drug combination  
 \*wx uk1: DV, drug development  
 \*wx uk1: PD, pharmacology  
 plasminogen activator inhibitor: CB, drug combination  
 plasminogen activator inhibitor: DV, drug development  
 plasminogen activator inhibitor: PD, pharmacology  
 prourokinase: EC, endogenous compound  
 serine proteinase inhibitor: CB, drug combination  
 serine proteinase inhibitor: DV, drug development  
 serine proteinase inhibitor: PD, pharmacology  
 antineoplastic agent: CB, drug combination  
 antineoplastic agent: DT, drug therapy  
 unclassified drug  
 RN (plasminogen activator inhibitor) 105844-41-5; (prourokinase) 82657-92-9  
 CN (1) **Wx uk1**  
 CO (1) Wilex (Germany)

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reserved on STN  
AN 2002194397 EMBASE  
TI IDdb News focus.  
SO Current Drug Discovery, (2002) No. MAY, pp. 13-16. .  
ISSN: 1472-7463 CODEN: CDDUAI  
CY United Kingdom  
DT Journal; Note  
FS 004 Microbiology  
008 Neurology and Neurosurgery  
015 Chest Diseases, Thoracic Surgery and Tuberculosis  
016 Cancer  
031 Arthritis and Rheumatism  
037 Drug Literature Index  
LA English  
ED Entered STN: 13 Jun 2002  
Last Updated on STN: 13 Jun 2002  
CT Medical Descriptors:  
\*cancer: DT, drug therapy  
\*stroke: DT, drug therapy  
\*virus infection: DT, drug therapy  
drug indication  
heart failure: DT, drug therapy  
anxiety neurosis: DT, drug therapy  
patent  
non insulin dependent diabetes mellitus: DT, drug therapy  
drug structure  
nose congestion: DT, drug therapy  
drug design  
kidney cancer: DT, drug therapy  
condyloma: DT, drug therapy  
asthma: DT, drug therapy  
allergic rhinitis: DT, drug therapy  
osteoarthritis: DT, drug therapy  
rheumatoid arthritis: DT, drug therapy  
cataplexy: DT, drug therapy  
narcolepsy: DT, drug therapy  
drug approval  
drug marketing  
bladder disease: DT, drug therapy  
thromboembolism: DT, drug therapy  
thromboembolism: PC, prevention  
anemia: DT, drug therapy  
drug manufacture  
Wart virus  
papilloma: DT, drug therapy  
nonhodgkin lymphoma: DT, drug therapy  
alcoholism: DT, drug therapy  
influenza: DT, drug therapy  
influenza: PC, prevention  
human  
clinical trial  
controlled study  
note  
Drug Descriptors:  
vasopressin receptor antagonist: CT, clinical trial  
vasopressin receptor antagonist: DT, drug therapy  
rosiglitazone: DT, drug therapy  
beta 3 adrenergic receptor stimulating agent: CT, clinical trial  
beta 3 adrenergic receptor stimulating agent: DT, drug therapy  
taxane derivative: CT, clinical trial

taxane derivative: DT, drug therapy  
interleukin 2 receptor antibody: CT, clinical trial  
interleukin 2 receptor antibody: DT, drug therapy  
camptothecin derivative: CT, clinical trial  
camptothecin derivative: DT, drug therapy  
phosphotransferase inhibitor: CT, clinical trial  
phosphotransferase inhibitor: DT, drug therapy  
phosphotransferase inhibitor: PO, oral drug administration  
histamine H1 receptor antagonist: CB, drug combination  
histamine H1 receptor antagonist: DT, drug therapy  
desloratadine: DT, drug therapy  
histamine H3 receptor agonist: DT, drug therapy  
loratadine: DT, drug therapy  
alpha adrenergic receptor stimulating agent: CB, drug combination  
alpha adrenergic receptor stimulating agent: DT, drug therapy  
protein tyrosine kinase inhibitor: DV, drug development  
protein tyrosine kinase inhibitor: DT, drug therapy  
apoptosis inhibitor: DT, drug therapy  
serine proteinase inhibitor: DV, drug development  
serine proteinase inhibitor: DT, drug therapy  
carboxylic acid derivative: DT, drug therapy  
uridine derivative: CT, clinical trial  
uridine derivative: DT, drug therapy  
antisense oligonucleotide: CT, clinical trial  
antisense oligonucleotide: DT, drug therapy  
valdecoxib: DT, drug therapy  
celecoxib: DT, drug therapy  
oxybate sodium: DT, drug therapy  
oxybutynin: CT, clinical trial  
oxybutynin: DT, drug therapy  
oxybutynin: TD, transdermal drug administration  
fondaparinux: DT, drug therapy  
recombinant erythropoietin: DV, drug development  
recombinant erythropoietin: DT, drug therapy  
virus vaccine: CT, clinical trial  
virus vaccine: DV, drug development  
virus vaccine: DT, drug therapy  
DNA: CT, clinical trial  
DNA: CB, drug combination  
DNA: DT, drug therapy  
rituximab: CT, clinical trial  
rituximab: CB, drug combination  
rituximab: DT, drug therapy  
naltrexone derivative: CT, clinical trial  
naltrexone derivative: DT, drug therapy  
influenza vaccine: CT, clinical trial  
influenza vaccine: DT, drug therapy  
unindexed drug  
sb 418790  
gw 427353  
idn 5109  
bay 439006  
chir 200131  
wk 175  
  **wx uk 1**  
krp 199  
ori 1001  
epi 2010  
bucindolol  
oxytrol

arixta  
 ta hpv  
 RN (rosiglitazone) 122320-73-4, 155141-29-0; (interleukin 2 receptor antibody) 179045-86-4; (desloratadine) 100643-71-8; (loratadine) 79794-75-5; (valdecoxib) 181695-72-7; (celecoxib) 169590-42-5; (oxybate sodium) 502-85-2; (oxybutynin) 1508-65-2, 5633-20-5; (fondaparinux) 114870-03-0; (recombinant erythropoietin) 113427-24-0, 122312-54-3, 130455-76-4; (DNA) 9007-49-2; (rituximab) 174722-31-7; (idn 5109) 186348-05-0, 186348-23-2; (bucindolol) 71119-11-4  
 CN (1) Avandia; (2) Sb 418790; (3) Gw 427353; (4) Idn 5109; (5) Bay 439006; (6) Clarinex; (7) Claritin; (8) Chir 200131; (9) Wk 175; (10) Wx uk 1; (11) Krp 199; (12) Ori 1001; (13) Epi 2010; (14) Bextra; (15) Bextra; (16) Celebrex; (17) Celebrex; (18) Xyrem; (19) Oxytrol; (20) Arixta; (21) Arixta; (22) Ta hpv; (23) Rituxan  
 CO (3) Glaxo SmithKline; (5) Bayer; (7) Schering Plough; (8) Chiron; (10) Wilex Biotechnology; (11) Kyorin; (12) OriGenix Technologies; (13) Epigenesis; (16) Pharmacia; (17) Pfizer; (18) Orphan; (19) Watson; (20) Sanofi Synthelabo; (21) Organon; (22) Xenova; (23) Dynavax  
 L42 ANSWER 10 OF 10 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN  
 AN 2001414153 EMBASE  
 TI Cancer research 2001: Drug resistance, new targets and drug combinations.  
 AU Broxterman H.J.; Georgopapadakou N.  
 CS H.J. Broxterman, Department of Medical Oncology, BR 232, Vrije Universiteit Medical Center, P.O. Box 7057, 1007 MB Amsterdam, Netherlands. H.Broxterman@vumc.nl  
 SO Drug Resistance Updates, (2001) Vol. 4, No. 3, pp. 197-209. .  
 Refs: 82  
 ISSN: 1368-7646 CODEN: DRUPFW  
 CY United Kingdom  
 DT Journal; General Review  
 FS 016 Cancer  
 037 Drug Literature Index  
 038 Adverse Reactions Titles  
 LA English  
 SL English  
 ED Entered STN: 20 Dec 2001  
 Last Updated on STN: 20 Dec 2001  
 AB The development of new anticancer drugs and the identification of novel targets represent major focus areas for pharmaceutical and biotech companies, universities and research institutes worldwide. The 92nd Annual Meeting of the American Association for Cancer Research (AACR) provided a glimpse of the latest developments in the cancer field. We highlight here presentations on resistance mechanisms (efflux, target modulation), new targets and drugs in development (topoisomerase, angiogenesis, cell cycle inhibitors) and new molecular technologies. The emergence of technologies for concurrently screening for expression of thousands of genes, has provided a new approach for the identification of molecular targets and mechanisms of both action and resistance of new compounds. The importance of inhibiting multiple targets simultaneously was brought up in several presentations. .COPYRGT. 2001 Harcourt Publishers Ltd.  
 CT Medical Descriptors:  
 \*cancer research  
 \*cancer: DR, drug resistance  
 \*cancer: DT, drug therapy  
 \*cancer combination chemotherapy  
 drug targeting  
 medical society

cell cycle  
angiogenesis  
technology  
gene expression  
cell transport  
neutropenia: SI, side effect  
human  
nonhuman  
clinical trial  
meta analysis  
review  
priority journal  
CT Drug Descriptors:  
\*antineoplastic agent: AE, adverse drug reaction  
\*antineoplastic agent: CT, clinical trial  
\*antineoplastic agent: CB, drug combination  
\*antineoplastic agent: CM, drug comparison  
\*antineoplastic agent: DV, drug development  
\*antineoplastic agent: DO, drug dose  
\*antineoplastic agent: DT, drug therapy  
\*antineoplastic agent: TO, drug toxicity  
\*antineoplastic agent: PK, pharmacokinetics  
\*antineoplastic agent: PD, pharmacology  
\*antineoplastic agent: IV, intravenous drug administration  
\*antineoplastic agent: PO, oral drug administration  
angiogenesis inhibitor: CM, drug comparison  
angiogenesis inhibitor: DV, drug development  
angiogenesis inhibitor: PD, pharmacology  
anginex: CM, drug comparison  
anginex: DV, drug development  
anginex: PD, pharmacology  
endostatin: CM, drug comparison  
endostatin: PD, pharmacology  
gfb 111: DV, drug development  
gfb 111: PD, pharmacology  
gfb 116: DV, drug development  
gfb 116: PD, pharmacology  
2 amino 4 (3 pyridyl) 4h naphtho[1,2 b]pyran 3 carbonitrile: DV, drug development  
2 amino 4 (3 pyridyl) 4h naphtho[1,2 b]pyran 3 carbonitrile: PD, pharmacology  
ly 290293: DV, drug development  
ly 290293: PD, pharmacology  
pyran derivative: DV, drug development  
pyran derivative: PD, pharmacology  
2 methoxyestradiol: DV, drug development  
2 methoxyestradiol: PD, pharmacology  
fb 642: DV, drug development  
fb 642: PD, pharmacology  
DNA topoisomerase inhibitor: CT, clinical trial  
DNA topoisomerase inhibitor: CM, drug comparison  
DNA topoisomerase inhibitor: DT, drug therapy  
DNA topoisomerase inhibitor: PK, pharmacokinetics  
DNA topoisomerase inhibitor: PD, pharmacology  
DNA topoisomerase inhibitor: IV, intravenous drug administration  
lurtotecan: CT, clinical trial  
lurtotecan: CM, drug comparison  
lurtotecan: DT, drug therapy  
lurtotecan: PK, pharmacokinetics  
lurtotecan: PD, pharmacology

lurtotecan: IV, intravenous drug administration  
exatecan: CT, clinical trial  
exatecan: CM, drug comparison  
exatecan: DT, drug therapy  
exatecan: PD, pharmacology  
bms 250749: CT, clinical trial  
bms 250749: CM, drug comparison  
bms 250749: DT, drug therapy  
bms 250749: PD, pharmacology  
carbazole derivative: CT, clinical trial  
carbazole derivative: CM, drug comparison  
carbazole derivative: DT, drug therapy  
carbazole derivative: PD, pharmacology  
camptothecin: CM, drug comparison  
camptothecin: DT, drug therapy  
camptothecin: PD, pharmacology  
irinotecan: CM, drug comparison  
irinotecan: DT, drug therapy  
irinotecan: PD, pharmacology  
bms 251873: CM, drug comparison  
bms 251873: DT, drug therapy  
bms 251873: PD, pharmacology  
f 11782: DV, drug development  
f 11782: PD, pharmacology  
ct 2103: AE, adverse drug reaction  
ct 2103: CT, clinical trial  
ct 2103: DO, drug dose  
ct 2103: DT, drug therapy  
ct 2103: PD, pharmacology  
bn 80915: AD, drug administration  
bn 80915: DT, drug therapy  
bn 80915: PK, pharmacokinetics  
bn 80915: IV, intravenous drug administration  
bn 80915: PO, oral drug administration  
camptothecin derivative: AD, drug administration  
camptothecin derivative: DT, drug therapy  
camptothecin derivative: PK, pharmacokinetics  
camptothecin derivative: PD, pharmacology  
camptothecin derivative: IV, intravenous drug administration  
camptothecin derivative: PO, oral drug administration  
j 107088: PD, pharmacology  
bay 383441: AE, adverse drug reaction  
bay 383441: CT, clinical trial  
bay 383441: DO, drug dose  
bay 383441: DT, drug therapy  
bay 383441: PK, pharmacokinetics  
bay 383441: PD, pharmacology  
de 310: DV, drug development  
de 310: TO, drug toxicity  
de 310: PD, pharmacology  
rubitecan: CM, drug comparison  
rubitecan: PD, pharmacology  
rubitecan: IV, intravenous drug administration  
e 173: DV, drug development  
e 173: TO, drug toxicity  
e 173: PD, pharmacology  
nucleoside analog: DV, drug development  
nucleoside analog: TO, drug toxicity  
nucleoside analog: PD, pharmacology  
unindexed drug

unclassified drug  
 nx 211  
 x 469  
**wx uk 1**  
 wx 293  
 wx 360  
 amp 404  
 clopidogrel  
 4 [2 [4 (3,10 dibromo 8 chloro 6,11 dihydro 5h benzo[5,6]cyclohepta[1,2 b]pyridin 11 yl) 1 piperidinyl] 2 oxoethyl] 1 piperidinecarboxamide  
 bms 214662  
 r 115777  
 l 778123  
 lb 42906  
 bay 439006  
 2 (2 chloro 4 iodoanilino) n cyclopropylmethoxy 3,4 difluorobenzamide  
 ci 1040  
 wf 536  
 zd 6474  
 ag 13764  
 af 13925  
 gw 2286  
 s 137  
 st 1646  
 SCH 221153  
 s 247  
 er 6820300  
 d 64131  
 txd 258  
 idn 5109  
 idn 5390  
 d 24851  
 NSC 330507  
 4 (4 cyclohexyl 2 methyl 5 oxazolyl) 2 fluorobenzenesulfonamide  
 ecteinascidin 743  
 amminedichloro(2 methylpyridine)platinum  
 st 1481  
 2 [2 methyl 5 [4 (4 methyl 1 piperazinylmethyl)benzamido]anilino] 4 (3 pyridyl)pyrimidine  
 zd 1839  
 topotecan  
 war 196  
 mobiletrex  
 pemetrexed  
 raltitrexed  
 nu 6102  
 cgp 85715  
 RN (endostatin) 187888-07-9; (2 methoxyestradiol) 362-07-2; (lurtotecan) 149882-10-0, 155773-58-3; (exatecan) 197720-53-9; (camptothecin) 7689-03-4; (irinotecan) 100286-90-6; (rubitecan) 91421-42-0; (clopidogrel) 113665-84-2, 120202-66-6, 90055-48-4, 94188-84-8; (4 [2 [4 (3,10 dibromo 8 chloro 6,11 dihydro 5h benzo[5,6]cyclohepta[1,2 b]pyridin 11 yl) 1 piperidinyl] 2 oxoethyl] 1 piperidinecarboxamide) 193275-84-2; (2 (2 chloro 4 iodoanilino) n cyclopropylmethoxy 3,4 difluorobenzamide) 212631-79-3; (idn 5109) 186348-05-0; (4 (4 cyclohexyl 2 methyl 5 oxazolyl) 2 fluorobenzenesulfonamide) 180200-68-4; (ecteinascidin 743) 114899-77-3; (amminedichloro(2 methylpyridine)platinum) 181630-15-9; (2 [2 methyl 5 [4 (4 methyl 1 piperazinylmethyl)benzamido]anilino] 4 (3 pyridyl)pyrimidine) 152459-95-5; (topotecan) 119413-54-6, 123948-87-8; (pemetrexed) 137281-23-3, 150399-23-8; (raltitrexed) 112887-68-0

CN (1) Ly 290181; (2) Ly 290293; (3) Nx 211; (4) Nx 211; (5) Dx 8951f; (6) Bms 250749; (7) F 11782; (8) Ct 2103; (9) Bn 80915; (10) J 107088; (11) Bay 383441; (12) De 310; (13) X 469; (14) Wx uk 1; (15) Wx 293; (16) Wx 360; (17) Amp 404; (18) Sr 25989; (19) Sch 66336; (20) Bms 214662; (21) R 115777; (22) L 778123; (23) Lb 42906; (24) Bay 439006; (25) Bay 439006; (26) Pd 184352; (27) Ci 1040; (28) Wf 536; (29) Zd 6474; (30) Ag 13764; (31) Af 13925; (32) Gw 2286; (33) S 137; (34) St 1646; (35) SCH 221153; (36) S 247; (37) Er 6820300; (38) D 64131; (39) Txd 258; (40) Idn 5109; (41) Idn 5390; (42) D 24851; (43) NSC 330507; (44) NSC 330507; (45) Jte 522; (46) Et 743; (47) Et 743; (48) Zd 0473; (49) St 1481; (50) Sti 571; (51) Zd 1839; Gfb 116; Gfb 111; Bms 251873; Camptosar; Hycamtin; E 173; War 196; Mobiletrex; Ly 231514; Zd 1694; Nu 6102; Cgp 85715  
 CO (2) Lilly; (3) Glaxo Wellcome; (4) Nexstar; (7) Fabre; (8) Cell Therapeutics; (9) Beaufour Ipsen; (10) Banyu; (12) Daiichi Seiyaku; (13) DuPont; (16) Wilex biotechnology gmbh (Germany); (17) Amplimed; (18) Sanofi Synthelabo; (20) Bristol Myers Squibb; (21) Janssen; (22) Merck; (23) LG Chemical; (25) Onyx; (27) Pfizer; (28) Welfide; (31) Agouron; (32) Glaxo SmithKline; (35) Schering Plough; (36) Pharmacia; (37) Eisai; (39) Aventis; (40) Bayer; (41) Indena; (42) Asta; (43) University of Maryland (United Kingdom); (44) Institute of Cancer Research (United Kingdom); (45) Japan Tobacco; (46) Pharma Mar; (47) National Cancer Institute; (48) Astra Zeneca; (49) Sigma Tau; (50) Novartis; (51) Iressa; Fujisawa; Procter and Gamble; Wyeth Ayerst; Searle; Yamanouchi; Sugen; Entremed; Oxigene; Inkine

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(FILE 'HOME' ENTERED AT 07:07:03 ON 14 AUG 2006)  
 SET COST OFF

FILE 'HCAPLUS' ENTERED AT 07:07:27 ON 14 AUG 2006

L1 1 S US20050267127/PN OR (US2005-517518# OR WO2003-EP5918 OR DE200  
 E SPERL/AU  
 L2 24 S E38,E39  
 E WILEX/PA,CS  
 L3 38 S E3-E24  
 SEL RN L1

FILE 'REGISTRY' ENTERED AT 07:08:43 ON 14 AUG 2006

L4 22 S E1-E22  
 L5 STR  
 L6 3 S L5  
 L7 STR L5  
 L8 3 S L7  
 L9 76 S L7 FUL  
 SAV L9 KUMAR517/A  
 L10 9 S L4 AND L9  
 L11 67 S L9 NOT L10  
 L12 STR L7  
 L13 0 S L12 SAM SUB=L9  
 L14 28 S L12 FUL SUB=L9  
 SAV L14 KUMAR517A/A  
 L15 23 S L14 NOT C6-C6/ES  
 L16 9 S L4 AND L15  
 L17 48 S L9 NOT L14  
 L18 53 S L9 NOT L15

FILE 'HCAOLD' ENTERED AT 07:21:12 ON 14 AUG 2006

L19 0 S L15

FILE 'HCAPLUS' ENTERED AT 07:21:19 ON 14 AUG 2006  
L20 15 S L15  
L21 7 S L1-L3 AND L20  
L22 10 S L20 AND (PY<=2003 OR PRY<=2003 OR AY<=2003)  
L23 4 S L20 AND (PY<=2002 OR PRY<=2002 OR AY<=2002)  
L24 6 S L21 AND L22  
L25 4 S L21 AND L23  
L26 6 S L23-L25

FILE 'USPATFULL' ENTERED AT 07:23:41 ON 14 AUG 2006  
L27 6 S L15  
L28 3 S L15 AND SPERL ?/AU  
L29 3 S L15 AND WILEX?/PA  
L30 4 S L28, L29  
L31 2 S L27 AND (PY<=2002 OR PRY<=2002 OR AY<=2002)  
L32 6 S L27 AND (PY<=2003 OR PRY<=2003 OR AY<=2003)  
L33 6 S L27-L32

FILE 'REGISTRY' ENTERED AT 07:24:38 ON 14 AUG 2006

FILE 'HCAPLUS' ENTERED AT 07:24:49 ON 14 AUG 2006

FILE 'USPATFULL' ENTERED AT 07:25:07 ON 14 AUG 2006

FILE 'REGISTRY' ENTERED AT 07:26:02 ON 14 AUG 2006

FILE 'HCAPLUS' ENTERED AT 07:26:34 ON 14 AUG 2006  
L34 9 S WX UK1 OR WX UK 1  
L35 0 S L34 NOT L20

FILE 'BIOSIS' ENTERED AT 07:26:58 ON 14 AUG 2006  
L36 8 S L15 OR L34  
SEL AN 1-4  
L37 4 S L36 NOT E23-E26

FILE 'MEDLINE' ENTERED AT 07:27:59 ON 14 AUG 2006  
L38 3 S L15 OR L34

FILE 'EMBASE' ENTERED AT 07:28:18 ON 14 AUG 2006  
L39 20 S L15 OR L34  
L40 7 S L39 AND PY<=2003

FILE 'MEDLINE' ENTERED AT 07:29:28 ON 14 AUG 2006  
L41 1 S L38 AND PY<=2003

FILE 'BIOSIS, MEDLINE, EMBASE' ENTERED AT 07:29:51 ON 14 AUG 2006  
L42 10 DUP REM L37 L41 L40 (2 DUPLICATES REMOVED)

FILE 'BIOSIS, MEDLINE, EMBASE' ENTERED AT 07:30:02 ON 14 AUG 2006

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